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(FILE 'HOME' ENTERED AT 12:39:26 ON 23 MAR 2009)

FILE 'CAPLUS' ENTERED AT 12:39:37 ON 23 MAR 2009

L1 14734 S (PHARMACEUTICAL OR PHARMACEUTICALS) (L) (PULVERIZE OR PULVERIZA
L2 49 S L1 AND (JET MILL)

=> d que 12 stat

L1 14734 SEA FILE=CAPLUS ABB=ON PLU=ON (PHARMACEUTICAL OR PHARMACEUTIC
ALS) (L) (PULVERIZE OR PULVERIZATION OR MILLING OR (JET MILL) OR
POWDER)

L2 49 SEA FILE=CAPLUS ABB=ON PLU=ON L1 AND (JET MILL)

=> d 1-49 bib abs

L2 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2009:247656 CAPLUS
 TI Influence of flaws and crystal properties on particle fracture in a jet mill
 AU de Verte, Onno; Vromans, Herman; den Toonder, Jaap; van der Voort Maarschalk, Kees
 CS Department of Pharmaceutics, NV Organon, part of Schering-Plough Corporation Oss, 5340 BH, Neth.
 SO Powder Technology (2009), 191(1-2), 72-77
 CODEN: POTE8X; ISSN: 0032-5910
 PB Elsevier B.V.
 DT Journal
 LA English
 AB Jet milling is commonly used for reducing the particle size of active pharmaceutical ingredients. Unfortunately, this process is sometimes difficult to control as pre-existing flaws and mech. properties affect the particle fracture behavior in a mill. In this study the effect of pre-existing flaws on mech. material properties of crystals of a model material, sodium chloride, from different sources have been investigated using optical microscopy, nanindentation, and powder compaction. Subsequently, these properties have been correlated with particle fracture in a jet mill. The paper shows that particles that have a small average flaw size possess the lowest constraint factor (i.e. the constraint factor is defined as the ratio of the hardness and the yield pressure) and is an expression of the ductility of the material. Ductile particles that have a large average flaw size have a high constraint factor and hence behave more ductile. Moreover, the study shows that the rank order of the mech. properties are consistent with the rank order of the exptl. determined particle rate of breakage. Materials that have a relatively low hardness show the highest particle rate of breakage. The degree of particle fracture during jet-milling tends to decrease for particles that have a smaller flaw d. and behave more ductile. The paper shows that pre-existing flaws have an impact on mech. properties and on particle fracture behavior in a jet mill. It is concluded that the increase of the particle rate of breakage as a function of particle size is influenced by the number of flaws rather than by flaw length.

L2 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2009:140062 CAPLUS
 DN 150:212774
 TI Micronization of polyols
 IN Gonze, Michel Henri Andre; Stouffs, Robert Henri Marcel
 PA Cargill, Incorporated, USA
 SO PCT Int. Appl., 19pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN CNT 8

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------|----------|
| PI WO 2009016133 | A1 | 20090205 | WO 2008-EP59854 | 20080725 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EB, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW | RW: AT, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, FR, GB, GE, HR, HU, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MZ, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

PRAI EP 2007-113374 20070727

AB Micronized polyols have a particle size distribution (d_{50}) of 20-60 μm and a flowability $\leq 5 \text{ s}/100\text{g}$ (preferably $\leq 5 \text{ s}/100\text{g}$). The micronized polyols, although they have a smaller particle size distribution compared to the corresponding milled polyols, have improved flowability. Preferably, the polyol is one or more of maltitol, isomalt, mannitol, sorbitol, xylitol, and erythritol. Preferred polyols also demonstrate a compressibility index $\geq 40\%$. The process for micronizing a polyol comprises the steps of (a) taking a polyol ($\text{C}_6\text{H}_{2n+20}\text{O}_n$) which is solid at 20-25° ;(b) feeding the polyol into a jet mill and applying pressure using nitrogen; and (c) collecting the micronized polyol. The micronized polyols are useful in food, feed, cosmetic and pharmaceutical compns., especially chewing gum.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2008:1417278 CAPLUS
 DN 150:24117
 TI Integrated method for producing Chinese medicine Maifanshi superfine powder and concentrated extractive solution thereof
 IN Ke, Liangjie
 PA Nainangji China Medical Stone Development Co., Ltd., Peop. Rep. China
 SO Faming Zuanli Shengqing Gongkai Shuomingshu, 13pp.
 SO CODEN: CNXXBV
 DT Patent
 LA Chinese
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------|------|----------|------------------|----------|
| PI CN 101305829 | A | 20081119 | CN 2008-10067186 | 20080520 |

PRAI CN 2008-10067186 20080520

AB The title integrated method for producing maifanshi superfine powder and concentrated extractive solution thereof comprises of (1) pulverizing maifanshi into 125-425 μm powder, pulverizing into 42-52 μm powder by using a jaw type breaker, pulverizing into 3-5 μm powder by using an impact breaker, separating with a large vibrating screen, pulverizing into 42-48 μm powder by using a hammer breaker, separating with a small vibrating screen, and pulverizing into 2-5 μm powder by using a jet mill to obtain superfine powder, and (2) soaking the maifanshi superfine powder in water circulation system, and sequentially concentrating by nano-filtration (NF), reverse osmosis (RO) and low-temperature vacuum distillation (LTV). The inventive method has the advantages of no influence on components, high content of minerals, high utilization rate of raw materials, short soaking period, improved dissoln. rate of minerals, improved concentration degree, and improved recovery utilization rate.

L2 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2008:673160 CAPLUS
 DN 149:17741
 TI Oral pharmaceutical composition containing naphthoquinone-based compound for intestine delivery system with improved bioavailability and pharmacokinetics
 IN Jo, Il Geun; Yoo, Sang-Kui Park, Myung-Gyu Kwak, Taehwan
 PA MD Bioalpha Co., Ltd., S. Korea; KT & G Co., Ltd.
 SO PCT Int. Appl., 62pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 8

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------|----------|
| PI WO 2008066295 | A1 | 20080605 | WO 2007-KR6008 | 20071126 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EB, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW | RW: AT, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, FR, GB, GE, HU, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MZ, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

KR 2008047968 A 200806530 KR 2007-102470 20071011

PRAI KR 2006-117658 A 20061127

PRAI KR 2007-102470 A 20071011

OS MARPA 149:17741

AB Provided is an oral pharmaceutical composition with improved bioavailability and pharmacokinetic properties of a drug, by increasing a bioabsorption rate and an in vivo retention time of an active ingredient via intestine-targeted formulation of a particular naphthoquinone-based compound, or a pharmaceutically acceptable salt, prodrug, solvate or isomer thereof, as an active ingredient. Thus, micronizing of an active ingredient was carried out using a jet mill at a supply pressure of 0.65 Mpa, and a feed rate of 50 to 100 g/h. 0.2 G of sodium lauryl sulfate and 10 g of a naphthoquinone-based compound were mixed and ground; micronized particles were recovered and a particle size was determined by zetas potential measurement; an average particle diameter was 1500 nm.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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|------------|--|--|-----------------|--------------------------|
| L2 | ANSWER 5 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN | | | |
| AN | 2008:673159 CAPLUS | | | |
| DN | 149:17740 | | | |
| TI | Pharmaceutical compositions containing phenanthraquinones for intestinal delivery system | | | |
| IN | Jo, In Geun; Yoo, Sang-Kui; Park, Myung-Gyui; Kwak, Taehwan | | | |
| PA | MCNocoalpa Co., Ltd., S. Korea; KT & G Co., Ltd. | | | |
| SO | PCT Int. Appl., 58pp. | | | |
| CODEN | PIXXD2 | | | |
| DT | Patent | | | |
| LA | English | | | |
| FAN. CNT | 8 | | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | WO 2008066296 | A1 | 20080605 | WO 2007-KR6010 20071126 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW | | |
| | RW: | AI, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, PT, GB, GR, HU, IE, IS, IT, LT, LU, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CL, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG, BW, BY, KG, KZ, MD, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TT, TM, AP, EA, EP, OA | | |
| PRAI | KR 200807969 | A | 20080530 | KR 2007-102478 20071011 |
| PRAI | KR 2006-17685 | A | 20061127 | |
| PRAI | KR 2007-102478 | A | 20071011 | |
| OS | MARPAT 149:17740 | | | |
| AB | Provided is an oral pharmaceutical composition with improved bioavailability and pharmacokinetic properties of a drug, by increasing a bioabsorption rate and an in vivo retention time of an active ingredient via intestine-targeted formulation of a particular phenanthraquinone, or a salt, prodrug, solvate or isomer thereof, as an active ingredient. Micronizing of an active ingredient was carried out by using a Jet mill. Sodium lauryl sulfate and cryptotanshinone were added to water and then ground for 10 h. Micronized particles were recovered and a particle size was determined by zeta potential measurement. | | | |
| RE. CNT | 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD | | | |
| | ALL CITATIONS AVAILABLE IN THE RE FORMAT | | | |
| L2 | ANSWER 6 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN | | | |
| AN | 2008:605004 CAPLUS | | | |
| DN | 148:546143 | | | |
| TI | Formulations of tetrahydropyridine antiplatelet agents for parenteral or oral administration | | | |
| IN | Bernstein, Howard; Carneiro, Olinda; Jain, Rajeev A.; Pandit, Namrata; Rane, Shvetaa; Straub, Julie Ann | | | |
| PA | Auspheure, Inc., USA | | | |
| SO | PCT Int. Appl., 28pp. | | | |
| CODEN | PIXXD2 | | | |
| DT | Patent | | | |
| LA | English | | | |
| FAN. CNT | 1 | | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | WO 2008060934 | A2 | 20080522 | WO 2007-US84040 20071108 |
| | WO 2008060934 | A3 | 20080912 | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW | | |
| | RW: | AI, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, PT, GB, GR, HU, IE, IS, IT, LT, LU, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CL, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG, BW, BY, KG, KZ, MD, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TT, TM, AP, EA, EP, OA | | |
| PRAI | US 2006-865614P | P | 20061114 | |
| OS | MARPAT 148:546143 | | | |
| AB | A pharmaceutical composition for oral or parenteral administration of a compound comprising an oil-in-water emulsion, wherein the oil phase comprises the free base or a salt thereof of a tetrahydropyridine, e.g., ticlopidine, and a surfactant which are soluble in the oil phase and/or the aqueous phase. The emulsion optionally contains excipients that are soluble in the oil phase and/or the aqueous phase, such as pH modifying agents such as buffers, osmolarity/tonicity modifying agents, emulsifying agents, water-soluble polymers, and preservatives. The tetrahydropyridine can be formulated as a solid material and stored until needed. Kits for forming the emulsion are provided. Prior to administration, the solid material can be reconstituted in an aqueous medium to form the emulsion. A clopidogrel bisulfate powder was fed manually into the Fluid Energy jet mill, with an injector pressure of 8 bars and a grinding pressure of 4 bars. The jet mill was allowed to clear out for 1 min with an injector pressure of 10 bars and a grinding pressure of 9 bars resulting in jet milled clopidogrel bisulfate. | | | |
| L2 | ANSWER 6 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN | | | |
| AN | 2008:641338 CAPLUS | | | |
| DN | 149:17255 | | | |
| TI | Micro/nanoparticle design and fabrication for pharmaceutical drug preparation and delivery applications | | | |
| AU | Sahoo, Nandu Gopal; Abbas, Ali; Li, Chang Ming | | | |
| CS | School of Chemical and Biomedical Engineering, Nanyang Technological University, Singapore, Singapore | | | |
| SO | Current Drug Therap. (2008) 3(2), 78-97 | | | |
| CODEN | CDTBV; ISSN: 1574-8856 | | | |
| PB | Bentham Science Publishers Ltd. | | | |
| DT | Journal, General Review | | | |
| LA | English | | | |
| AB | A review. In modern medicine technologies the oral administration of solid forms is the preferred route for drug delivery. Thus, in pharmaceutical applications, size, shape and morphol. of the solid particles are important because they can affect the solubility as well as bioavailability of the drug particles. Since the bioavailability of orally applied drugs depends on the rates of dissoln. and absorption, methods to increase such rates are often essential to reach significant levels (concn.) in the blood. A very suitable way to increase the rate of dissoln. is the reduction of the particle size. Particle design, in particular the design of micron, submicron, or nanoparticles, is thus critical. There are several methods for the production of drug particles of decreased size, such as pulverization of large particles using a ball mill, jet mill, micronization or emulsion methods, spray drying, anti-solvent technique (GAS), etc. These methods are reviewed here with a focus on the production of micro/nano-sized drug particles, with or without water soluble materials. Such particles are used in oral, pulmonary and transdermal drug delivery of water insol. or poorly water soluble drugs. Especially, our review concns. on spray drying methods for the synthesis of drug particles with or without water soluble materials that show a faster rate and higher extent of dissoln. and enhanced bioavailability in comparison with com. preps., containing the normal form of the drug. This review provides an update and insights on recent and relevant studies in this area. highlights our work in this field and attempts to provide a future outlook on this research. | | | |
| RE. CNT | 217 THERE ARE 217 CITED REFERENCES AVAILABLE FOR THIS RECORD | | | |
| | ALL CITATIONS AVAILABLE IN THE RE FORMAT | | | |

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|------------|--|--|-----------------|--------------------------|
| L2 | ANSWER 7 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN | | | |
| AN | 2008:605004 CAPLUS | | | |
| DN | 148:546143 | | | |
| TI | Formulations of tetrahydropyridine antiplatelet agents for parenteral or oral administration | | | |
| IN | Bernstein, Howard; Carneiro, Olinda; Jain, Rajeev A.; Pandit, Namrata; Rane, Shvetaa; Straub, Julie Ann | | | |
| PA | Auspheure, Inc., USA | | | |
| SO | PCT Int. Appl., 28pp. | | | |
| CODEN | PIXXD2 | | | |
| DT | Patent | | | |
| LA | English | | | |
| FAN. CNT | 1 | | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | WO 2008060934 | A2 | 20080522 | WO 2007-US84040 20071108 |
| | WO 2008060934 | A3 | 20080912 | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW | | |
| | RW: | AI, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, PT, GB, GR, HU, IE, IS, IT, LT, LU, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CL, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG, BW, BY, KG, KZ, MD, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TT, TM, AP, EA, EP, OA | | |
| PRAI | US 2006-865614P | P | 20061114 | |
| OS | MARPAT 148:546143 | | | |
| AB | A pharmaceutical composition for oral or parenteral administration of a compound comprising an oil-in-water emulsion, wherein the oil phase comprises the free base or a salt thereof of a tetrahydropyridine, e.g., ticlopidine, and a surfactant which are soluble in the oil phase and/or the aqueous phase. The emulsion optionally contains excipients that are soluble in the oil phase and/or the aqueous phase, such as pH modifying agents such as buffers, osmolarity/tonicity modifying agents, emulsifying agents, water-soluble polymers, and preservatives. The tetrahydropyridine can be formulated as a solid material and stored until needed. Kits for forming the emulsion are provided. Prior to administration, the solid material can be reconstituted in an aqueous medium to form the emulsion. A clopidogrel bisulfate powder was fed manually into the Fluid Energy jet mill, with an injector pressure of 8 bars and a grinding pressure of 4 bars. The jet mill was allowed to clear out for 1 min with an injector pressure of 10 bars and a grinding pressure of 9 bars resulting in jet milled clopidogrel bisulfate. | | | |
| L2 | ANSWER 8 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN | | | |
| AN | 2008:578742 CAPLUS | | | |
| DN | 148:592726 | | | |
| TI | Characterization of the grinding behaviour in a single particle impact device: Studies on pharmaceutical powders | | | |
| AU | Meier, Matthias; John, Edgar; Wieckhusen, Dierk; Wirth, Wolfgang; Peukert, Wolfgang | | | |
| CS | Institute of Particle Technology, Friedrich-Alexander-University Erlangen-Nuremberg, Erlangen, D-91058, Germany | | | |
| SO | European Journal of Pharmaceutical Sciences (2008), 34(1), 45-55 | | | |
| CODEN | EJPSD; ISSN: 0928-0987 | | | |
| PB | Elsevier B. V. | | | |
| DT | Journal | | | |
| LA | English | | | |
| AB | The grinding behavior of different materials can be described by the two material parameters F_{Mat} and W_{min} . F_{Mat} describes the resistance of particulate material against fracture in impact comminution. W_{min} characterizes the specific energy which a particle can take up without comminution. The material parameters are determined exptl. by single particle impact tests. This concept is also applicable to pharmaceutical powders, as will be shown in this work. A device is presented for the characterization of particles with sizes down to a few $10 \mu\text{m}$. Particles are dispersed and accelerated in an air stream which is flowing against an impact plate. The impact velocity is controlled by the air flow. An LDA system enables the measurement of particle velocities. The results obtained with this jet mill are in accordance to those obtained from another single particle impact device used by Vogel and Peukert, in which the influence of fluid flow is completely avoided. Since the new device is especially designed for finer powders, it will allow a more detailed anal. of the material parameters at smaller particle sizes. Addnl., a new anal. method has been developed in order to determine the breakage probability not from sieve anal. but from laser light diffraction (LLD) data by using a population balance. | | | |
| RE. CNT | 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD | | | |
| | ALL CITATIONS AVAILABLE IN THE RE FORMAT | | | |

L2 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2008:278817 CAPLUS
 DN 149:454728
 TI Grinding and its classification system for pharmaceutical production
 AU Asahi, Syozo
 CS R&D Dep., Tokuji Corp., Japan
 SO Iyakunin Seizaika Horyaku to Shingijutsu (2007), 275-282. Editor(s): Tomochi, Hiromi; Publisher: Shi Emu Shi Shuppan, Tokyo, Japan.
 CODEN: GOKLIT; ISBN: 978-4-88231-674-9
 DT Conference; General Review
 LA Japanese
 AB A review discussing characteristics of grinding systems, e.g. jet mill and freezing-grinding system, and classification systems, e.g. sieving system, for pharmaceutical production is provided.

L2 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2008:63710 CAPLUS
 DN 148:128311
 TI Binderless granulation of drug-loaded nanoparticles, the granulated nanoparticles, and dry powder inhalers containing the granules
 IN Tsujimoto, Hiroyuki; Hara, Kaori; Hatano, Shigenobu
 PA Hosokawa Powder Engineering Research Institute, Japan
 SO Kokai Tokkyo Koho, 19pp.
 CODEN: JXKXAF
 DT Patent
 LA Japanese
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI JP 20080007426 A 20080117 JP 2006-177124 20060627
 PRAI JP 2006-177124 20060627
 AB Title granules, formed by only adhesive force of nanoparticle aggregates bound via excipients, are manufactured by (1) preparing aggregates of drug-loaded nanoparticles bound via excipients and (2) granulating the aggregates while circulating the nanoparticle aggregate powder in a spouted bed under consolidation state. The dry powder inhalers contain the granules. Thus, PLGA 7520 (poly(lactic acid-glycolic acid)) was dissolved in acetone, mixed with EtOH solution of VC-IP (L-ascorbyl tetrahexyldecanoate), and the mixture was added dropwise to aqueous solution of PVA 403 (polyvinyl alc.) at 20°C to give a reduced nanoparticle suspension. The suspension was subjected to a reduced pressure at 40° for 3 h under stirring to remove acetone and EtOH, filtered, and freeze-dried to give I-loaded nanoparticle powder. The nanoparticle powder was mixed with solution of Lactohale (lactose), freeze-dried, pulverized with a jet mill, and the size-reduced aggregates (average particle size 10.5 μ m) were granulated to give granules containing 5.5×10^{-2} weight%. Pulmonary delivery of I was evaluated using a cascade impactor.

L2 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:673442 CAPLUS
 DN 147:79616
 TI Processes for making particle-based pharmaceutical formulations for parenteral administration
 IN Altreuter, David; Bernstein, Howard; Brito, Luis; Brito, Shaina; Carneiro, Olinda C.; Chickering, Donald E.; Huang, Eric K.; Jain, Rajeev; Narasimhan, Sridhar; Pandit, Namata; Straub, Julie A.
 PA AcuspHERE, Inc., USA
 SO PCT Int. Appl., 41pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2007070852 A2 20070621 WO 2006-US62094 20061214
 WO 2007070852 A3 20071101
 W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EG, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KW, NN, KP, KR, KZ, LA, LC, LX, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SG, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UK, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BR, GH, GN, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 CA 2631494 A1 20070621 CA 2006-2631494 20061214
 US 2007-78165 A1 20070622 US 2006-610791 20061214
 EP 1973527 A2 20081001 EP 2006-840263 20061214
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 IN 2008-KN02258 A 20090116 IN 2008-KN2258 20080604
 PRAI US 2005-750461P P 20051215
 WO 2006-US62094 W 20061214
 AB A method is provided for making a parenteral dosage form of a pharmaceutical agent which includes (a) providing particles of a pharmaceutical agent; (b) blending the particles with particles of at least one bulking agent to form a first powder blend, which does not include a surfactant; (c) milling the first powder blend to form a milled blend which comprises microparticles or nanoparticles of the pharmaceutical agent; and (d) reconstituting the milled blend with a liquid vehicle, which includes at least one surfactant, for parenteral administration. A method is also provided which includes (a) providing particles of a pharmaceutical agent; (b) blending these particles with particles of an excipient to form a first blend; and (c) milling the first blend to form a milled blend that includes microparticles or nanoparticles, which exhibits a greater dispersibility, wettability, and suspending ability as compared to the particles of step (a) or the first blend. Thus, two blends were made containing celecoxib/manitol/Tween 80/Plasdone-C15 in a 10:10:1:1 ratio either by jet milling a blend directly or by jet milling a blend of celecoxib and preprocessed manitol/Tween 80/Plasdone-C15. The manitol and the Tween 80 were preprocessed, at a ratio of 10:1, by dissolving in water (85.2 g manitol and 8.54 g Tween 80 in 749 g water) followed by freezing and lyophilization. Each sample was blended using a mixer to produce a dry blended powder. The dry blended powder was then fed manually into a jet mill. The material made with preprocessed excipient was easier to mill than the material made with non-preprocessed excipient. The resulting milled blends were reconstituted with water and examined by microscopy. There were

L2 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
 asglomerates obsd. in the formulation contg. non-lyophilized manitol/Tween 80. However, large agglomerates were not visible for the material that contained lyophilized manitol/Tween 80/PVP, indicating that preprocessing of the Tween 80 excipient resulted in improved dispersal.

L2 ANSWER 12 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:646452 CAPLUS
 DN 147:284831
 TI Use of spouted bed type binderless granulation to design PLGA nano-composite granules for dry powder inhalation (DPI)
 AU Tsujimoto, Hiroyuki; Hara, Kaori; Tsukada, Yusuke; Kawashima, Yoshiaki;
 Hattori, Shigenobu
 CS Japan Patent Technology Research Institute, Hirakata, 573-1132, Japan
 SO Funtai Kagaku Kaishi (2007), 44(6), 459-464
 CODEN: FKAKDA; ISSN: 0686-6167
 PB Funtai Kagakkai
 DT Journal
 LA Japanese
 AB New granulation technique using a spouted bed type binderless granulator and a jet mill to make PLGA nano-composite granules applicable to DPI was studied. In the method, the PLGA nano-composite granules having a spherical shape with soft granule strength can be binderlessly granulated in the spouted bed using raw materials prepared by milling and blending freeze dried PLGA nanospheres and lactose powder as an excipient with a jet mill. The prepared nano-composite granules showed good handling properties with high respirable fraction (RF) values estimated by cascade impactor in vitro. The new method proposed in the present work proved to be a useful preparation technique of PLGA nano-composite granules for DPI application.

L2 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:536945 CAPLUS
 DN 146:507832
 TI Multi-stage process to control particle size of pharmaceutical substance
 IN Mooney, Brett Antony
 PA Alphapharm Pty. Ltd., Australia; Keramidas, Panagiots
 SO PCT Int. Appl., 27pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI WO 2007053904 A1 20070518 WO 2006-AU1687 20061110
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KB, KN,
 KP, KR, KZ, LA, LC, LX, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
 MN, MW, MX, MY, MZ, NA, NG, NL, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TR, TT,
 TZ, UA, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ,
 CF, CG, CI, CM, GA, GN, IQ, GW, ML, MR, NE, SN, TD, TG, BK, GH,
 OM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 EG, KZ, MD, RU, TJ, TM
 AU 2006313009 A1 20070618 AU 2006-313009 20061110
 CA 2628716 A1 20070618 CA 2006-3628716 20061110
 EP 1951197 A1 20080808 EP 2006-804507 20061110
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, RS
 PRAI AU 2006-906227 A 20061110
 WO 2006-AU1687 W 20061110
 AB This invention relates to multi-stage process to control the particle size of a pharmaceutical substance comprising the steps of: passing the pharmaceutical substance through a first stage of a particle size reduction process with a first set of particle size control parameters to obtain a feedstock of reduced median particle size and lesser distribution of median particle size for a second stage of a particle size reduction process; passing the feedstock through a second stage of a particle size reduction process with a second set of particle size control parameters; optionally, using the product of the second stage of subsequent stages as a feedstock for further stages of a multi-stage particle size reduction process with a set of particle size control parameters for each stage, and collecting a pharmaceutical substance with a median particle size greater than 10 μ m and with a narrow, reproducible distribution of median particle sizes. Thus, oxcarbazepine was milled in a 10" spiral jet mill to produce particle size of 15 μ m to 17 μ m.
 RB.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 14 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:418839 CAPLUS
 DN 147:528270
 TI A process of administering aerosols of macrolide antibiotics to the respiratory tract
 IN Bhattacharya, Sampad; Gummudavelli, Shridhar; Joshi, Mayank
 PA Alembic Limited, India
 SO Indian Pat. Appl., 17pp.
 CODEN: INXXBQ
 DT Patent
 LA English
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI IN 2002M00840 A 20040703 IN 2002-MU840 20020925
 PRAI IN 2002-MU840 30020925
 AB A process for preparation of Dry Powder Inhalation of Macrolides comprising of the following steps. A. Mill roxithromycin (Macrolide antibiotic) using a jet mill to obtain a mean particle size below 2 μ m and 90% particles below 10 μ m. B. Dissolve sodium saccharin (Sweeteners) into a buffered solution of citric acid-sodium citrate. C. Sep. dissolve Poloxamer 188 (Wetting agent) in water. D. Wet the milled Roxithromycin obtained in step (a) with the solution of step (c) and mix thoroughly. E. Suspend the wet mass of step (d) into the solution of step (b) and homogenize. F. Disperse/dissolve Hydroxypropyl Methylcellulose (coating polymer) into the formed solution of step (e). G. Spray-dry the formed suspension of step (f). H. Blend the collected material of step (g) with lactose (Carrier) in a V-blender.

L2 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:402270 CAPLUS
 DN 146:387141
 TI Method for manufacturing fine powders for coating of solid compositions
 IN Fujimoto, Shinji
 PA Kurimoto, Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 7pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI JP 2007091688 A 20070412 JP 2005-286543 20050930
 PRAI JP 2005-286543 20050930
 AB It is intended to provide a method for mass production of fine powders enable to make compact coatings on the surface of solid compns. by dry coating process. Disclosed is a method for manufacturing fine powders for use in coating of solid compns., wherein the method includes spray drying a dispersion containing polymer fine powder with an average particle size \leq 1 μ m and cracking and classifying the dried powders by using a jet-mill with an air-flow classifier.

L2 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:208661 CAPLUS
 DN 146:236205
 TI New powder inhalant formulations of interferons
 IN Jiang, Rongkao; Liu, Heng; Wang, Chunlong; Yang, Ying
 PA Tianjin Institute of Pharmaceutical Research, Peop. Rep. China
 SO Faming Zhenhai Shengqing Gongkai Shuomingshu, 20pp.
 CODEN: CNXXEV

DT Patent

LA Chinese

FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|------------------|----------|
| PI CN 1672731 | A | 20050928 | CN 2004-10018796 | 20040326 |

PRAI CN 2004-10018796 20040326
 AB The invention provides new powder inhalant formulations of interferons. The interferon powder inhalation is composed of 0.0002-0.8 wt% of interferon, 70-97.9 wt% of diluting agent, 0.01-5 wt% of protective agent for protecting the activity of interferon, 0-25 wt% of adjuvant for improving dispersibility, and a salt buffer system for keeping pH at 4-9, wherein the interferon is selected from recombinant human interferon α -2a, recombinant human interferon α -2b, recombinant interferon β , and recombinant interferon γ ; and the protective agent is selected from lysine, 2-hydroxypropyl- β -cyclodextrin, and soybean lecithin. The product is free of adjuvant protein, human serum albumin (HSA). The preparation method comprises the steps of mixing all ingredients, removing water content from the mixture by volatilization, and performing milling with a jet mill or ball mill or alternatively spray drying to obtain particles with an average grain size of less than 10 μ m.

L2 ANSWER 17 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:114095 CAPLUS
 DN 146:190518
 TI Pharmaceutical compositions of eplerenone
 IN Deshmukh, Vaibhav Panditrao Khachane, V. S.; Chaudhari, G. N.; Bhamre, N. B.
 PA Glimmark Pharmaceuticals Limited, India
 SO PCT Int. Appl., 28pp.
 CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------|------|----------|-----------------|----------|
| PI WO 2007012960 | A1 | 20070201 | WO 2006-1B2072 | 20060728 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NZ, NA, NG, NL, NO, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, TJ, TM, TN, TR, TT, TZ, UA, UG, UG, RW: AT, BE, BG, CH, CY, CZ, DE, DK, BE, BS, FI, PR, GB, GR, HU, IE, IS, IT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

IN 2005MU00891 A 20070622 IN 2005-MU891 20050729

PRAI IN 2005-MU891 A 20060739
 US 2005-720957P P 20060927
 AB This invention relates to aldosterone antagonist particles such as eplerenone particles having a D90 particle size of less than 25 μ m and greater than 15 μ m are provided. Also provided are pharmaceutical comms. containing the aldosterone antagonist particles. Thus, eplerenone was micronized by being passed through a spiral jet mill at a feed rate of about 500 g/h using a compressed air pressure of 4 kg/cm² to 5 kg/cm². The micronized eplerenone obtained was measured for its particle size through a Malvern particle size analyzer. The D90 of the eplerenone particles was 15.17 μ m.

RE. CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:78193 CAPLUS
 DN 146:212804
 TI New oral nanoparticle formulation of nest of Collocaia esculenta
 IN Chen, Baorong
 PA Peop. Rep. China
 SO Faming Zhenhai Shengqing Gongkai Shuomingshu, Spp.
 CODEN: CNXXEV

DT Patent

LA Chinese

FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|------------------|----------|
| PI CN 1895278 | A | 20070117 | CN 2006-10086746 | 20060620 |

PRAI CN 2006-10086746 20060620
 AB The invention provides new oral nanoparticle formulation of nest of Collocaia esculenta. The method comprises drying edible bird's nest, pulverizing to obtain fine powders (95% of which can pass through 200-mesh sieve), micronizing the fine powders with a jet mill to obtain nanoparticles (90% of which have diameter below 500 nm), and manufacturing into tablets, granule or powders. Compared with the conventional decoction pieces, the nanoparticles have the advantages of high absorption rate and low dosage.

L2 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:75095 CAPLUS
 DN 146:128460
 TI Ultradispersed unossified antler powder as agent for balneotherapy
 IN Greczko, G. M.; Nerushai, S. A.
 PA OAO "Eksirus", Russia
 SO Russ., 14pp.
 CODEN: RUXX7

DT Patent

LA Russian

FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| PI RU 2291702 | C2 | 20070120 | RU 2004-126286 | 20040902 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NA, NG, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SG, SD, SE, SG, SK, SL, SM, SV, TZ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, BE, BS, FI, PR, GB, GR, HU, IE, IS, IT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI RU 2004-126286 A 20040902

AB The claimed powder from unossified antlers has particle size of 0.1-30.0 μ m, contains non-radioactive carbon isotope C13 in amount of at least 1.3 % based on total carbon content in finished product, zeolite as sorbent and salt additives in amounts from 5.0-15.0 %, salt additives in 0.0-1.0 %, balance of powder from crushed and unossified antlers. The method for production of ultradispersed powder from unossified antlers includes mech. wool removing from unossified antlers of maral, Siberian stag, dappled deer, or reindeer; material crushing to produce particles having size of 5-10 mm; drying thereof with air flow at 70° C or less; secondary crushing to produce particles having average size of 0.1 mm and secondary drying thereof with air flow at the same temperature; addition under stirring of abrasive powder with residual humidity of at most 3 mass % into obtained product in amount sufficient for effective product crushing; grinding of obtained mixture in jet mill in presence of said abrasive powder to produce ultradispersed powder with particle size of 0.1-30 μ m and humidity of at most 3 mass % followed by pre-packing of finished product in vacuumed containers. As abrasive powder mixture of salt additives with zeolite sorbent in ratio 1:1-5:1 having particle size of at most 150 μ m is used in amount of at least 20 % based on mass of grinding material. Agent for balneotherapy has contains aqueous-alc. extract from abovementioned ultradispersed powder composition in amount of (mass %) powder from unossified antlers 1.0-10.0; alc. 35.0-45.0; and balance water up to 100 %. Solution for balneotherapy contains (mass %): abovementioned agent 0.0001-0.07 and balance: water up to 100 %.

L2 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:61351 CAPLUS
 DN 146:128686

TI Process for milling and preparing powders for pharmaceutical compositions
 IN Talton, James D.
 PA Ametherapeutics, Inc., USA
 SO PCT Int. Appl., 43pp.
 CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------|----------|
| PI WO 2007008480 | A1 | 20070118 | WO 2006-US25918 | 20060630 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GR, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SK, SL, SM, SY, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | RW: AI, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BI, CL, CO, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, CM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| CA 2614409 | A1 | 20070118 | CA 2006-2614409 | 20060630 |
| US 20080029625 | A1 | 20080207 | US 2006-428064 | 20060630 |
| EP 1922150 | A1 | 20080521 | EP 2006-786179 | 20060630 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 20090500163 | T | 20090108 | JP 2008-520325 | 20060630 |
| IN 2008KN00531 | A | 20081107 | IN 2008-KN531 | 20080205 |
| WS 2006-US25918 | W | 20060630 | | |

AB A method of milling a powder comprising introducing a gas stream containing a cryogenic liquid and a drug carrier gas into a jet mill, and milling a powder with the jet mill in one or more milling passes. A product produced by the method. A milling apparatus comprising a cryogenic gas input system, a powder feeder, a main jet-mill, and at least one output port for collecting the powder. Acyclovir, PVA and zinc acetate were mixed in a mixer for 10 min. A liquid and a nitrogen bath was adjusted in such a manner as to produce 90 rpm. The powder was fed into the mill over 5 min and the resulting powder was obtained in the bag with a yield of 60 g.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2006:1146725 CAPLUS
 DN 145:454222

TI Manufacture of powdered foods consisting of core particles and hull material particles by using jet mill
 IN Goto, Shiochi
 PA Cosmei, Raibura Y. K., Japan
 SO Kokai Tokkyo Koho, 28pp.
 CODEN: JKXXAF

DT Patent

LA Japanese

FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| PI JP 2006236236 | A | 20061102 | JP 2005-119846 | 20050418 |
| PRAI JP 2005-119846 | | 20050418 | | |
| AB The invention provides a powdered food consisting of core particles which can be taken orally and hull material particles which are fixed by the surface of the core particles. The hull material particles are the fruit bodies and/or myceliums of mushrooms which are grinded at the particle sizes smaller than the cell of the mushrooms. The core particles are (a) grinded particles of plant materials selected from flower, bark, rhizome, tuber, root, leaf, fruit and seed; (b) dried particles of the fermented figs, which are obtained from the fermentation of the plant materials; and (c) dried particles of the exts. which are extracted from the plant materials or animal materials. The mushrooms used for the hull material particles are tempeh mushroom (<i>Pleurotus cornucopiae</i>) and the core particles are the inhibitory agents for saccharide degrading enzyme activity. The production method of the powdered foods consists of (1) supply process of the core and hull material particles and (2) fixation process of the hull material particles by the surface of the core particles using horizontal rotational flow jet mill which consists of rotational flow formation room, exhaust port, supply nozzle and grinding nozzle. The schematic diagrams of the jet mill are given. | | | | |

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2006:554650 CAPLUS
 DN 145:109295

TI The effect of crystal imperfections on particle fracture behavior
 AU Vegt, Onno; Vromans, Herman; Pries, Wim; van der Voort Maarschalk, Kees
 CS Department of Pharmaceutics, N.V. Organon, Oss, 5340 EH, Neth.
 SO International Journal of Pharmaceutics (2006), 317(1), 47-53
 CODEN: IJPHDE; ISSN: 0378-5173
 PB Elsevier Ltd.
 DT Journal
 LA English
 AB Micronization of active pharmaceutical ingredients is a process which is sometimes difficult to control. The main purpose of this study was to assess the effect of the pre-existing flaws in the material to be milled. The rate of change of four types of crystal compound (sodium chloride) originating from different sources was determined in a jet mill. It appeared that each type of sodium chloride has a distinct particle rate of breakage and breakage pattern. The nos. of flaws in the different types of sodium chloride have been determined by immersing the sodium chloride particles in a liquid with the same refractive index. This makes the cracks better visible. Microphotographs were made and flaws were counted manually. The study shows that the flaw d. has an impact on the fracture behavior of particles. The degree of fracture tends to increase with increasing flaw d. The paper shows however that the mech. properties of the material as well as the starting particle size dominate the significance of the impact of flaws on fracture behavior.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 23 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2006:531448 CAPLUS
 DN 145:255698

TI Batch grinding kinetics and particle shape of active pharmaceutical ingredients by fluidized-bed jet-milling
 AU Fukunaka, Tadashi; Golman, Boris; Shinohara, Kunio
 CS Banyu Pharmaceutical Co., Ltd., 9-1, Kamimitsuma 3-Chome, Okazaki, Aichi, 444-0858, Japan
 SO AIChE Annual Meeting, Conference Proceedings, Cincinnati, OH, United States, Oct. 30-Nov. 4, 2005 (2005), 446b/1-446b/16 Publisher: American Institute of Chemical Engineers, New York, N. Y.
 CODEN: E9ICPK; ISBN: 0-8169-0996-2
 DT Conference; (computer optical disk)

LA English
 AB A most of active pharmaceutical ingredients (APIs) developed in pharmaceutical industries have low solubility in water, production of fine particles by milling is performed for the main purpose of improvement of their dissolv. rate. For low solubility APIs, or APIs for specialized formulations such as inhaled delivery, particle size requests are often in the 5-10 μ range. Quite often, the selection of process parameters to achieve a desired milling endpoint is done empirically rather than through engineering approaches. Fluidized-bed jet-mills are relatively new to the pharmaceutical industry compared to loop-style jet-mills and pin-mills. Two of the merits of fluidized-bed jet-mills are less deterioration of APIs quality due to thermal effect (e.g. melt-back) and less shut-down due to compaction over the internal surfaces during the long operation. Though it is known that the grinding mainly depends on inter-particle collision due to jet stream of gas, the grinding characteristics of API in this mill have not been investigated in detail. The present objectives are to analyze the grinding mechanism and to find the effect of the operating parameters on the breakage and selection function, and the particle shape by the batch grinding test with a model API, Ethenazamide, in the fluidized-bed jet-mill. Results of this study show that the variation of the residual fraction with the grinding time during milling can be expressed by a math. model using only the first Kapur function to be consistent with exptl. data satisfactorily. The shape of the function was characteristic of API and well fitted to a cubic equation with respect to logarithmic particle diameter. The first Kapur function was found to be affected by such operating parameters as the grinding gas pressure, the charge weight of raw material and the linear velocity at the grinding nozzle. Although, under the low grinding pressure, the selection function tends to decrease with increasing charge weight, it was found to increase with decreasing charge under the high pressure. At the same gas flow rate, the selection function increases with the linear gas velocity. According to the assessments of the breakage and the selection functions derived from the first Kapur function, it was found that the grinding of Ethenazamide was mainly caused by attrition, where small fragments are scraped off from the surface of the large particles. This is considered to come from the physical nature of Ethenazamide, as it is expected that organic compds. are difficult to yield volumetric fracture because they have higher elastic properties than inorg. compds. Shape index was also applied to the anal. of the mechanism. It describes a macroscopic shape of a particle outline using the ratio of minor- to major-axis of ellipse which is derived by Fourier transformation. The shape index of product particles by batch-grinding with the fluidized-bed jet-mill was found to increase with the grinding gas flow rate. Since higher gas flow rate leads to larger product particle size at a constant speed of the classified rotor, the product particles are considered to become more spherical due to the selective grinding of large particles.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 24 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:528850 CAPLUS

DN 145:89880

TI Method for producing a pharmaceutical aerosol containing bioactive

ingredients by using pentafluoropropane as dispersant

IN Li, Tiejun; Huang, Jianren

PA Shenyang Jiewin Pharmaceutical Co., Ltd., Peop. Rep. China

SO Faming Zuanli Shengqing Gongkai Shuomingshu, 13 pp.

CODEN: CNXXEV

DT Patent

LA Chinese

FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI CN 1778390 A 20060531 CN 2004-10084323 20041118

PRAI CN 2004-10084323 20041118

AB The title pharmaceutical aerosol is made from therapeutic or bioactive ingredients 0.01-1 wt%, pentafluoropropane as dispersant as dispersant 5-50 wt%, a propellant (selected from p134a (1,1,1,2-tetrafluoroethane) or p227ea (1,1,1,2,3,3-heptafluoropropane) or mixture thereof) 50-90 wt%, and adjuvants including stabilizer 0-16 wt% surfactant 0.001-0.5 wt%, sp. gr. regulator 0-0.5 wt%, taste corrective 0-0.5 wt%, antioxidant 0-1.5 wt%, and antiseptic 0-0.5 wt%, by the steps of (1) vacuum drying or drying under heating solid materials of bioactive ingredients, cooling down to room temperature, and pulverizing with jet mill to fine granules having a mean grain size of less than 10 μ m; (2) dewetting liquid materials of bioactive ingredients with anhydrous sodium sulfate for at least 24 h; and (3) mixing the above processed bioactive ingredient materials with the dispersant, homogenizing to give intermediate, wrapping the intermediate in a pressure-proof container, mounting valve and sealing, and infilling the propellant. The bioactive ingredients may be selected from therapeutic or diagnostic agent, anti-allergic drug, bronchodilator, antihistamine, anesthetic, etc.

L2 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:250975 CAPLUS

TI Rhodes Technologies: Specialty API manufacturing in a rapidly changing environment

AU Bonk, Peter J.

CS Research and Development, Rhodes Technologies, Coventry, RI, 02816, USA

SO Abstracts of Papers, 231st ACS National Meeting, Atlanta, GA, United States, March 26-30, 2006 (2006), SCHA-011 Publisher: American Chemical Society, Washington, D. C.

CODEN: 69HVEC

DT Conference: Meeting Abstract: (computer optical disk)

LA English

AB Rhodes Technologies operates a multi-purpose, FDA-registered and DEA certified plant with a complete range of active pharmaceutical ingredients (API) production capabilities, including process development, synthesis, drying, plus advanced micronization suites with state-of-the-art jet mills, as well as dosage form manufacturing suites. Rhodes Technologies has very broad capabilities in developing sophisticated chems. and offer confidential production of high purity APIs and finished dosage forms of innovative pharmaceuticals, as well as marketing and sales services, with a specialization in DEA Controlled Substances.

L2 ANSWER 26 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:200244 CAPLUS

DN 145:150422

TI Milling of organic solids in a jet mill. Part 2:

checking the validity of the predicted rate of breakage function

de Vegt, Onno; Vromans, Herman; Faassen, Fried; van der Voort Maarschalk, Kees

CS Department of Pharmaceutics, N.V. Organon, Oss, 5340 BH, Neth.

SO Particle & Particle Systems Characterization (2005), Volume Date 2006, 22(4), 261-267

CODEN: PPCHZ; ISSN: 0934-0866

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

AB The particle size distribution of fine chems. in the solid state, like active pharmaceutical ingredients, is often a critical parameter. To achieve the desired particle size distribution, milling of such materials is usually the method of choice. Since these chems. are often scarcely available, exptl. optimization of milling is not possible. Therefore, a model to predict the milling conditions has been developed. The model ests. the rate of breakage function, and needs mech. properties like hardness and yield strength as input to calculate the rate of breakage function. This paper attempts to check the validity of the model by a series of expts. A comparison of the exptl. results with the outcomes of the model using five different model compds. has been performed. It appears that the rate of breakage function can be estimated by: $Si = 5.85(\pm 1.78)108 Ekin Efract \sqrt{y/\rho} V H \sqrt{x} Kc$. The model is able to rank the compds. by degree of fracture as an effect of milling. It was also possible to perform a quant. prediction of the impact of milling pressure on the milling behavior. Finally, it appeared that the prediction of the large particles in the distribution was significantly better than small ones. Because the oversized material is usually the most critical parameter, the conclusion is that the model has acceptable practical applicability.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 27 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:199632 CAPLUS

DN 144:33772

TI Batch grinding kinetics of Ethenzamide particles by fluidized-bed jet-milling

AU Fukunaka, Tadashi; Golman, Boris; Shinohara, Kunio

CS Banyu Pharmaceutical Co., Ltd., Okazaki, Aichi, 444-0858, Japan

SO International Journal of Pharmaceutics (2006), 311(1-2), 89-96

CODEN: IJPHDE; ISSN: 0378-5173

PB Elsevier Ltd.

DT Journal

LA English

AB Ethenzamide solids as a representative active pharmaceutical ingredient (API) were batch-ground by a fluidized-bed jet mill which is a relatively new equipment and promising for production in the pharmaceutical field. Thus, the particle size grinding mechanism was investigated. As a result, the variation of the residual ratio with grinding time after milling was expressed simply by a math. model using only the first Kapur function, and it was consistent with exptl. data satisfactorily. As the shape of the function was much different from that of inorg. compound and peculiar to API, a cubic function with respect to particle diameter was defined newly and well fitted to the exptl. data. The function was also found to be affected by the operating parameters as the grinding gas pressure, the charge weight of raw material and the linear velocity at the grinding nozzle. According to the assessments of the breakage and the selection functions derived from the first Kapur function, it was found that the grinding mechanism of Ethenzamide particles was related with particle attrition mainly.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2006:80378 CAPLUS
 DN 145:109874
 TI Influence of nanomechanical crystal properties on the comminution process of particulate solids in spiral jet mills
 AU Zuegner, Sascha; Marquardt, Karin; Zimmermann, Ingfried
 CS Institute of Pharmaceutical Technology, University of Wurzburg, Wurzburg, Germany
 SO European Journal of Pharmaceutics and Biopharmaceutics (2006), 62(2), 194-201
 CODEN: EJPBEL; ISSN: 0939-6411
 PB Elsevier B.V.
 DT Journal
 LA English
 AB Elastic-plastic properties of single crystals are supposed to influence the size reduction process of bulk materials during jet milling. According to Pahl and H. Rumpf: fracture toughness, maximum strain or work of fracture for example are strongly dependent on mech. parameters like hardness (H) and young's modulus of elasticity (E). In addition the dwell time of particles in a spiral jet mill proved to correlate with the hardness of the feed material. Therefore near-surface properties have a direct influence on the effectiveness of the comminution process. The mean particle diameter as well as the size distribution of the ground product may vary significantly with the nanomech. response of the material. Thus accurate measurement of crystals' hardness and modulus is essential to determine the feed-operational micronisation conditions of the spiral jet mill. The recent development of a nanoindentation technique is applied to examine subsurface properties of pharmaceutical bulk materials, namely calcite, sodium ascorbate, lactose and sodium chloride. Pressing a small sized tip into the material while continuously recording load and displacement, characteristic diagrams are derived. The math. evaluation of the force-displacement-data allows for calcn. of the hardness and the elastic modulus of the investigated material at penetration depths between 50-300 nm. Grinding expts. performed with a modified spiral jet mill (Type Fryma JMR 80) indicate the strong impact of the elastic-plastic properties of a given substance on its breaking behavior. The fineness of milled products produced at constant grinding conditions but with different crystalline powders varies significantly as it is dependent on the nanohardness and the elasticity of the feed material. The anal. of this correlation gives new insights into the size reduction process.
 RE. CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2006:68133 CAPLUS
 DN 145:80688
 TI Variation in particle shape of active pharmaceutical ingredients prepared by fluidized-bed jet-milling
 AU Fukunaka, Tadashi; Sawaguchi, Kohta; Golman, Boris; Shinohara, Kunio
 CS Process & D. Co., Ltd., Pharmaceutical Co., Ltd., 3-9-1 Kamimutsuna, Okazaki 444-0088, Japan
 SO Yamakai, Zaschi (2005), 125(12), 951-957
 CODEN: YAKZAJ; ISSN: 0031-6903
 PB Pharmaceutical Society of Japan
 DT Journal
 LA Japanese
 AB In pharmaceutical industries, most active pharmaceutical ingredients are poorly water soluble, and therefore milling processes are important to obtain fine particles that can be easily dissolved in the body. However, the main purpose of milling is micronization of particles. From the viewpoint of fine particle preparation in the formulation process, milling has not been investigated sufficiently. In this paper, ethenamide was milled under various operating conditions using a fluidized-bed jet-mill. It was found that not only the particle size but also the particle shape varied with the milling conditions. The relationship between particle shape and milling conditions has been obtained exptl.

L2 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2005:404689 CAPLUS
 DN 143:353080
 TI Effect of particle shape of active pharmaceutical ingredients prepared by fluidized-bed jet-milling on cohesiveness
 AU Fukunaka, Tadashi; Sawaguchi, Kohta; Golman, Boris; Shinohara, Kunio
 CS Banyu Pharmaceutical Co., Ltd., Aichi, 444-0858, Japan
 SO Journal of Pharmaceutical Sciences (2005), 94(5), 1004-1012
 CODEN: JPMSE; ISSN: 0022-3549
 PB Wiley-Liss, Inc.
 DT Journal
 LA English
 AB Milling is a common procedure to improve bioavailability of many active pharmaceutical ingredients (APIs), which typically have low solubility in water. But such micronization can yield an increase in the cohesiveness of particles. Although particle cohesiveness is desirable for tablet strength in the subsequent formulation process, increased particle cohesiveness can lead to operational difficulties in a milling equipment due to compaction of particles inside. In this article, the impact of milling via a fluidizedbed jet-mill on the cohesive strength and interparticle force was studied using Ethenamide as a pharmaceutical model compound. As a result, the particle shape was found to affect both the tensile strength of powder bed and the interparticle cohesive force. A powder bed, having relatively high void fraction by direct tensile test, shows a pos. correlation between the cohesive force and the particle sphericity, while powders with low void fraction by diametral compression test show a pos. correlation between the cohesive force and the angularity of the particle.
 RE. CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 31 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2004:996180 CAPLUS
 DN 141:427391
 TI Microcrystals of (E)-8-(3,4-dimethoxystyryl)-1,3-diethyl-7-methyl-3,7-dihydro-1H-purine-2,6-dione
 IN Kuroda, Kazutoshi; Aoki, Noboru; Ochiai, Toshiro; Uchida, Akihiro; Ishikawa, Yasuhiro; Kigoshi, Makoto; Hayakawa, Eiji; Asanome, Kazuki
 PA Kyowa Hakko Kogyo Co. Ltd., Japan
 SO PCT Int. Appl., 22 pp.
 CODEN: PIXX2D
 DT Patent
 LA Japanese
 FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-----------|------------------|----------|
| PI WO 2004092007 | A1 | 20041118 | WO 2004-1P6496 | 20040507 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BR, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KR, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NA, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YL, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MR, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IB, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CL, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004236101 | A1 | 20041118 | AU 2004-236101 | 20040507 |
| CA 2525037 | A1 | 20041118 | CA 2004-2525037 | 20040507 |
| EP 1626049 | A1 | 20060215 | EP 2004-731752 | 20040507 |
| R: AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PI, SI, SI, LT, LV, PT, RO, ME, CT, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| CN 1784405 | A | 20060607 | CN 2004-80011873 | 20040507 |
| CN 100395245 | C | 200806118 | | |
| US 20060205745 | AI | 20060914 | US 2005-654511 | 20051026 |
| IN 2006CN03227 | A | 20070601 | IN 2005-CN3227 | 20051208 |
| PRAI JP 2003-131417 | A | 20030509 | | |
| WO 2004-1P6495 | W | 20040507 | | |
| AB Claimed are microcrystals of (E)-8-(3,4-dimethoxystyryl)-1,3-diethyl-7-methyl-3,7-dihydro-1H-purine-2,6-dione (I) with average particle diameter less than 50 μ m; also claimed are microcrystals of I with average particle diameter of 0.5 to 20 μ m; another claim specifies that microcrystals of I with average particle diameter less than 50 μ m or with average particle diameter of 0.5 to 20 μ m and 40% or higher degree of crystallinity are claimed; also claimed is a solid pharmaceutical preparation containing microcrystals of I. I is a known agent for the treatment of Parkinson's disease, asthma, etc. Crystals of I (average particle diameter : 181 μ m, crystallinity : 71.6%) was pulverized by a jet mill at 0.25 MPa to give microcrystals of I (average particle diameter : 11 μ m, crystallinity 61.3%). Microcrystals of this invention show excellent solubility, stability, bioavailability and dispersibility in drug products. A formulation for tablets contains microcrystals of I 40 mg, lactose 110 mg, microcrystalline cellulose 44 mg, polyvinylpyrrolidone 4 mg, and magnesium stearate 2 mg. | | | | |

 RE. CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 32 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:528932 CAPLUS

DN 142:212210

TI Hepatoprotective effects of amorphous and nano-particle preparations of ursodeoxycholic acid in CCl₄-induced mice: effects of three types of fine grinding mills

AU Chung, Han Young; Lee, Ji Hyeon; Kim, Ae Ra; Park, Tae Hyun; Chung, Hae Young; Kim, Yea Jung; Kwak, Seung Sim; Kim, Hyun II; Choi, Woo Sik

CS Interdisciplinary Program in Powder Technology, Graduate School, Pusan National University, Pusan, 609-735, S. Korea

SO Journal of Applied Pharmacology (2002), 10(1), 1-6

CODEN: JOPA6; ISSN: 1225-6110

PB Korean Society of Applied Pharmacology

DT Journal

LA Korean

AB The particle size of medicinal materials is an important phys. property that affects the pharmaceutical behaviors such as dissoln., chemical stability, and bioavailability of solid dosage forms. The size reduction of raw medicinal powder is needed to formulate insol. drugs or slightly soluble medicines and to improve the pharmaceutical properties such as the solubility, the pharmaceutical mixing, and the dispersion. The objective of the present study is to evaluate physiol. activity of amorphous and nano-particle preps. of insol. drug, ursodeoxycholic acid (UDCA), which were made by three types of fine grinding mills. The change of the properties of ground UDCA was confirmed by Mastersize, microplus and X-ray diffraction. We have investigated hepatoprotective effects of the nano-particle preps. by planetary mill, vibration rod mill and jet mill in CCl₄-induced oxidatively injured mouse liver. The results showed that nano-particle preps. of UDCA all decreased reactive oxygen species generation and lipid peroxidin. in CCl₄-induced oxidative stress mice. Among them nano-particle preps. by vibration rod mill and jet mill showed more significantly hepatoprotective effects compared to intact UDCA and planetary mill-ground UDCA. These results suggest that ground UDCA with vibration rod mill and jet mill shows a high amorphous state and the improved dissoln.

L2 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:510701 CAPLUS

DN 141:76723

TI Methods and apparatus for making particles using spray dryer and in-line jet mill

IN Chickering, Donald E.; Narasimhan, Sridhar; Altreuter, David; Kopesky, Paul; Keegan, Mark; Straub, Julie A.; Bernstein, Howard

PA Atmosphere, Inc., USA

SO U.S. Pat. Appl. Publ., 19 pp.

DT Patent

LA English

PAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|------------------|----------|
| PI | US 20040118007 | A1 | 20040624 | US 2002-324943 | 20021219 |
| | US 6962006 | B2 | 20051108 | | |
| | CA 2511376 | A1 | 20040722 | CA 2003-2511376 | 20031120 |
| | WO 2004060547 | A1 | 20040722 | WO 2003-US37108 | 20031120 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PR, PL, PT, RO, RS, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: | BW, GH, GR, IS, LS, MG, ME, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BE, BG, KZ, MD, RU, TM, AT, BG, BY, CY, DE, DK, GE, IS, PT, RO, SE, SI, SK, TR, FR, BJ, CR, CG, CL, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU | 2003236704 | A1 | 20040723 | AU 2003-235704 | 20031120 |
| AU | 2003235704 | B2 | 20080508 | | |
| EP | 1575696 | A1 | 20050921 | EP 2003-786905 | 20031120 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MG, PT, IE, SI, LT, LV, FI, RO, ME, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR | 200651795 | A | 20051122 | BR 2003-17595 | 20031120 |
| CN | 1726076 | A | 20060125 | CN 2003-80106437 | 20031120 |
| JP | 2006514879 | T | 20060618 | JP 2004-565053 | 20031120 |
| RU | 2324533 | C2 | 20080520 | RU 2005-122655 | 20031120 |
| IL | 167846 | A | 20080807 | IL 2003-168746 | 20031120 |
| US | 20040134091 | A1 | 20040715 | US 2004-752861 | 20040107 |
| US | 6921458 | B2 | 20070726 | | |
| US | 692139624 | A1 | 20040722 | US 2004-752910 | 20040107 |
| US | 6918991 | B2 | 20050719 | | |
| ZA | 2005004300 | A | 20051128 | ZA 2005-4300 | 20050526 |
| US | 20050202999 | A1 | 20050923 | US 2005-142217 | 20050602 |
| IN | 2005KN01086 | A | 20060526 | IN 2005-KN1086 | 20050607 |
| PRAI | US 2002-324943 | A | 20021219 | | |
| WO | 2003-US37108 | W | 20031120 | | |

AB Methods and apparatus are provided for making particles comprising: (a) spraying an emulsion, solution, or suspension, which comprises a solvent and a bulk material (e.g., a pharmaceutical agent), through an atomizer and into a primary drying chamber, having a drying gas flowing through it, to form droplets comprising the solvent and bulk material dispersed in the drying gas; (b) evaporating, in the primary drying chamber, at least a portion of the solvent into the drying gas to solidify the droplets and form particles dispersed in drying gas; and (c) flowing the particles and at least a portion of the drying gas through a jet mill to de-agglomerate or grind the particles. By coupling spray drying with in-line jet milling, a single step process is created from two sep. unit operations, and an addtl. collection step is advantageously eliminated. The 1-step, in-line process has further

L2 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

advantages in time and cost of processing.

RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 34 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:761395 CAPLUS

DN 140:326853

TI R & D of milling technology in pharmaceutical industry

AU Fukunaka, Tadashi; Tom, Jean W.

CS Process R & D Lab., Banyu Pharmaceutical Co., Ltd., Okazaki, 444-0858, Japan

SO Funtai Kogaku Kaishi (2003), 40(9), 655-663

CODEN: FKKADA; ISSN: 0386-6157

PB Funtai Kogakkai

DT Journal

LA Japanese

AB In the pharmaceutical industry, milling process is important to improve the solubility of the bulk drug by grinding them into the small particles. Small particles of the bulk drug help patients to be easily dissolved in the body, because most of them have very low solubility. However, the grinding characteristics and scale-up methodologies of ordinary milling techniques for pharmaceutical compounds have hardly ever been reported. Five kinds of milling techniques (jet-mill, fluidized jet-mill, pin-mill, cosmonizer, and cavitation-mill) for the drug: MK-JL, which we have developed, were evaluated on the basis of the particle size of the milled material and the durability and the scale-ability of these techniques. From this study, the fluidized jet-mill can be found to obtain the finest particle in size and the sharpest distribution and show the most durability. The scale-up number, f_n, derived from the dynamic balance of a centrifugal classifier was defined as the scale-up factor and its application-ability was also evaluated using the larger scale equipment.

L2 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2001:780654 CAPLUS
 DN 135:322746
 TI Pharmaceutical formulations containing magnesium stearate and sugar for dry powder inhalers in the form of hard-pellets
 IN Staniforth, John Nicholas; Vodden Morton, David Alexander; Gill, Rajbir;
 Brambilla, Gaetano; Musa, Rossella; Ferrarini, Lorenzo
 PA Ospedali Farmaceutici S.p.A., Italy
 SO PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 11

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2001078693 | A2 | 20011025 | WO 2001-EP4338 | 20010417 |
| WO 2001078693 | A3 | 20020117 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW | | | | |
| DE: DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, CF, CG, CI, OM, SA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2406119 | A1 | 20011025 | CA 2001-2406119 | 20010417 |
| GB 2362967 | A | 20020116 | GB 2001-9431 | 20010417 |
| GB 2363988 | A | 20020116 | GB 2001-9432 | 20010417 |
| EP 1274406 | A2 | 20020115 | EP 2001-931612 | 20010417 |
| EP 1274406 | B1 | 20060913 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MG, CY, AL, TR | | | | |
| HU 2003000593 | A2 | 20030929 | HU 2003-593 | 20010417 |
| HU 2003000593 | A3 | 20060723 | | |
| BR 2001010301 | A | 20031230 | BR 2001-10301 | 20010417 |
| EE 200200593 | A | 20040415 | EE 2002-593 | 20010417 |
| SK 284248 | B6 | 20041201 | SK 2002-1491 | 20010417 |
| AT 339195 | T | 20061015 | AT 2001-931612 | 20010417 |
| EP 1719605 | A2 | 20061108 | EP 2006-17742 | 20010417 |
| EP 1719605 | A3 | 20070118 | | |
| R: AT, BE, CH, CY, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, PT, NL, PT, SE, TB, AL, BA, HR, MK, YU | | | | |
| AT 377416 | T | 20071115 | AT 2001-921625 | 20010417 |
| ES 2292576 | T3 | 20070501 | ES 2001-921625 | 20010417 |
| ES 2275669 | T3 | 20070616 | ES 2001-921610 | 20010417 |
| EP 1829533 | A2 | 20070905 | EP 2007-110708 | 20010417 |
| EP 1829533 | A3 | 20071031 | | |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, PT, NL, PT, SE, TB, AL, BA, HR, MK, YU | | | | |
| AT 2292576 | T3 | 20080316 | ES 2001-921625 | 20010417 |
| ZA 2002005066 | A | 20030603 | ZA 2002-8066 | 20021008 |
| NO 2002004980 | A | 20021217 | NO 2002-4980 | 20021016 |
| MX 2002010218 | A | 20030623 | MX 2002-10218 | 20021016 |
| ZA 2002010225 | A | 20030618 | ZA 2002-10225 | 20021218 |
| US 20030150227 | A1 | 20030925 | US 2003-257368 | 20030204 |
| US 6884794 | B2 | 20040426 | | |
| US 20050201950 | A1 | 20050915 | US 2005-73625 | 20050308 |
| US 7223748 | B2 | 20070529 | | |
| PRAI GB 2000-9469 | A | 20000417 | | |

L2 ANSWER 36 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2001:532195 CAPLUS
 DN 135:154539
 TI ACHMEA 2000 - mechanical operations
 AU Tomosy, Laszlo
 CS Veyhini es Elemliseripari Geppek Tanszek, Budapesti Muszaki es Gazdasagtudomanyi Egyetem, Budapest, Hung.
 SO Magyar Kemikusok Lapja (2001), 56(5), 186-188
 CODEN: M3KLAL; ISSN: 0025-0163
 PB Magyar Kemikusok Egyesulet
 DT Journal
 LA Hungarian
 AB Liquid filtration by using fully automatic filter presses and membrane filter presses is described. Composite metal filter media with very small pore diameter is described. For dust-filtration, new PTFE-coated media are recommended that can be used for wet dust removal. Several equipment were presented for pharmaceutical production with strict cleaning and inspection requirements. Fully automatic fluidized bed jet mill is also described.

L2 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
 EP 2000-113608 A 20000627
 EP 2001-921625 A3 20010417
 EP 2001-931612 A3 20010417
 WO 2001-EP4338 W 20010417
 US 2005-257368 A1 20060204
 AB The invention provides a formulation to be administered as dry powder for inhalation suitable for efficient delivery of active ingredients into the low respiratory tract in patients suffering of pulmonary diseases such as asthma. In particular, the invention provides a formulation to be administered as dry powder for inhalation freely flowable, which can be produced in a simple way, phys. and chemical stable and able of delivering either accurate doses and high fine particle fraction of low strength active ingredients by using a high- or medium resistance device. For example, α -lactose monohydrate (particle size 50-400 μ m) and Mg stearate (particle size 3-35 μ m) were co-milled in a jet mill apparatus to obtain a blend A with a reduced particle size. Then 15% of this blend was mixed with 85% of α -lactose monohydrate (particle size 212-365 μ m) to obtain a blend B. Micronized formoterol fumarate was added to the blend B and mixed to obtained a ratio of 12 μ g of active to 20 mg of carrier; the amount of Mg stearate in the final formulation was 0.3% by weight. The final formulation (hard pellet formulation) was loaded in a multidose dry powder inhaler. The formulation showed a good flow properties.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2001:434861 CAPLUS
 DN 135:37199
 TI Cyclooxygenase-2 inhibitor compositions having rapid onset of therapeutic effect
 IN Kararli, Tugrul T.; Kontny, Mark J.; Desai, Subhash; Hageman, Michael J.; Haskell, Royal J.
 PA Pharmacia Corporation, USA
 SO PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 17

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------|------------------|----------|
| PI WO 2001041760 | A2 | 20010614 | WO 2000-US32454 | 20001206 |
| WO 2001041760 | A3 | 20011108 | | |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CG, CI, OM, SA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 747959 | B2 | 20020630 | AU 2000-18440 | 20000221 |
| HU 2002000580 | A2 | 20021128 | HU 2002-580 | 20001201 |
| HU 2002000580 | A3 | 20021228 | | |
| NZ 514059 | A | 20040227 | NZ 2000-514059 | 20001201 |
| US 20040087640 | A1 | 20040605 | US 2000-728040 | 20001201 |
| US 7476744 | B2 | 20090103 | | |
| EP 1528058 | A1 | 20050604 | EP 2005-2575 | 20001201 |
| R: AT, BE, CH, CY, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TB, AL, BA, HR, MK, YU | | | | |
| NZ 529933 | A | 20050624 | NZ 2000-529933 | 20001201 |
| PT 1150960 | T | 20050630 | PT 2000-983865 | 20001201 |
| ES 2236011 | T3 | 20050716 | ES 2000-983865 | 20001201 |
| CN 1679556 | A | 20051012 | CN 2005-10065059 | 20001204 |
| CA 2362815 | A1 | 20010614 | CA 2000-2362815 | 20001206 |
| AU 2001018059 | A | 20010618 | AU 2001-18059 | 20001206 |
| AU 784490 | B2 | 20060413 | | |
| US 20020006951 | A1 | 20020117 | US 2000-730663 | 20001206 |
| US 6964978 | E2 | 20061115 | | |
| EP 1175214 | A2 | 20020130 | EP 2000-980850 | 20001206 |
| EP 1175214 | B1 | 20041124 | | |
| R: AT, BE, CH, CY, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TB, AL, BA, HR, MK, YU | | | | |
| BR 2000000860 | A | 20020005 | BR 2000-8060 | 20001206 |
| BR 2000000860 | T | 200200812 | JP 2001-545105 | 20001206 |
| NZ 513964 | A | 20040120 | NZ 2000-513964 | 20001206 |
| NZ 513960 | A | 20040221 | NZ 2000-513960 | 20001206 |
| HU 2002001463 | A2 | 20040628 | HU 2002-1463 | 20001206 |
| HU 2002001463 | A3 | 20040628 | | |
| AT 283048 | T | 20041215 | AT 2000-980850 | 20001206 |
| EP 1625883 | A1 | 20050427 | EP 2004-27798 | 20001206 |
| R: AT, BE, CH, CY, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TB, AL, BA, HR, MK, YU | | | | |
| PT 1175214 | T | 20050429 | PT 2000-980550 | 20001206 |
| ES 2236007 | T3 | 20050617 | ES 2000-980550 | 20001206 |
| IL 144760 | A | 20070211 | IL 2000-144760 | 20001206 |
| AT 387431 | T | 20060815 | AT 2000-982255 | 20001206 |
| ES 2299441 | T3 | 20080601 | ES 2000-982255 | 20001206 |
| TW 276435 | B | 20070621 | TW 2000-89125991 | 20010518 |
| TW 285180 | B | 20060621 | TW 2000-89125992 | 20010531 |
| NO 2001003859 | A | 20011008 | NO 2001-3859 | 20010508 |

| | | | | | | | | |
|--------|--|--------|---------------------------|----------------|----------|--------------------------------|--|---|
| L2 | ANSWER 37 OF 49 | CAPLUS | COPYRIGHT 2009 ACS on STN | (Continued) | L2 | ANSWER 38 OF 49 | CAPLUS | COPYRIGHT 2009 ACS on STN |
| MX | 2001005058 | A | 20040405 | MX 2001-8058 | 20010508 | AN | 2001:82103 | CAPLUS |
| BR | 105808 | A | 20020930 | BR 2001-105808 | 20010509 | DN | 135:277985 | |
| BR | 65239 | B1 | 20070929 | | | TI | | Ultrafine grinding using a fluidized bed opposed jet mill: effects of process parameters on the size distribution of milled particles |
| ZA | 2001007146 | A | 20020829 | ZA 2001-7146 | 20010829 | AU | Heng, P. S.; Chan, L. W.; Lee, C. C. | |
| ZA | 2001-7148 | A | 20021129 | ZA 2001-7148 | 20010829 | CS | Patent Department of Pharmacy, National University of Singapore, Singapore, 119260, Singapore | |
| ZA | 2001007149 | A | 20020829 | ZA 2001-7149 | 20010829 | SO | S.T.P. Pharma Sciences (2000), 10 (6), 445-451 | |
| IN | 2001MN01055 | A | 20070622 | IN 2001-MN1055 | 20010905 | CODEN: STSSE5; ISSN: 1157-1489 | | |
| US | 20020142045 | A1 | 20021003 | US 2002-118157 | 20020401 | PB | Editions de Sante | |
| US | 20020365382 | A1 | 20041230 | US 2002-31898 | 20020730 | DT | Journal | |
| US | 7172769 | B2 | 20070206 | | | LA | English | |
| AU | 2002300873 | A1 | 20030220 | AU 2002-300873 | 20020830 | AB | Ultrafine grinding is an important unit process in pharmaceutical product development. In this study, a fluidized bed opposed jet mill was used to determine the effects of 3 process parameters in size reduction. The size distribution of all the milled products was pos. skewed and could not be fitted by the normal, log normal, Weibull and v-functions. Thus, non-parametric statistics were applied. Variation of the rotational speed of the classifier wheel was the most efficient method for producing milled products of different sizes. A combination of a high milling pressure of 0.5 MPa and a low feed load of 250 g always resulted in the production of products with a large proportion of particles in the higher size range. A feed load of 450 g resulted in a decreased selectivity of the classifier wheel. A milling pressure of 0.5 MPa yielded a product with the smallest median particle size. | |
| AU | 2002300873 | B2 | 20050414 | | | RE.CNT | 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD | |
| ZA | 2002007445 | A | 20031013 | ZA 2002-7445 | 20020917 | | ALL CITATIONS AVAILABLE IN THE RE FORMAT | |
| AU | 2004242560 | A1 | 20050127 | AU 2004-242560 | 20041231 | | | |
| AU | 2004242560 | B2 | 20070222 | | | | | |
| US | 20050267190 | A1 | 20051201 | US 2005-189659 | 20050726 | | | |
| US | 720867 | B2 | 20070522 | | | | | |
| IN | 2005MN01068 | A | 20070817 | IN 2005-MN1068 | 20050930 | | | |
| US | 200702160 | A1 | 20070830 | US 2007-744603 | 20070504 | | | |
| PRAI | 1999-169856P | P | 19991209 | | | | | |
| AU | 1997-13551 | A3 | 19961211 | | | | | |
| US | 2000-81635P | P | 20000210 | | | | | |
| AU | 2000-130 | A3 | 20000210 | | | | | |
| US | 2000-202309P | P | 20000505 | | | | | |
| EP | 0009-983865 | A3 | 20001201 | | | | | |
| US | 2000-728040 | A2 | 20001201 | | | | | |
| WO | 2000-US32769 | W | 20001201 | | | | | |
| AU | 2001-20411 | A3 | 20001204 | | | | | |
| CN | 2000-805906 | A3 | 20001204 | | | | | |
| EP | 2000-980850 | A3 | 20001206 | | | | | |
| US | 2000-31898 | A2 | 20001206 | | | | | |
| US | 2000-730663 | A | 20001206 | | | | | |
| WO | 2000-US32434 | W | 20001206 | | | | | |
| US | 2001-874504 | A1 | 20010605 | | | | | |
| IN | 2001-MN1055 | A3 | 20010905 | | | | | |
| US | 2005-189659 | A3 | 20050726 | | | | | |
| OS | MARPAT 135:37199 | | | | | | | |
| AB | Pharmaceutical compns. are provided comprise 1 or more orally deliverable dose units, each containing a selective cyclooxygenase-2 inhibitory drug of low water solubility in a therapeutically effective amount, wherein the drug is present in the form of solid particles, about 25-100% by weight of which are amorphous compns. used in the treatment or prophylaxis of cyclooxygenase-2 mediated conditions and disorders that have particular advantage where rapid onset of therapeutic effect is desired. Dispersions containing 5% celecoxib were prepared by the following process. The drug was micronized in an air jet mill to form a drug powder. The drug powder was added to an aqueous solution containing 2.5% hydroxypropyl cellulose and 0.1% sodium dodecyl sulfate to form a suspension. The suspension was wet milled to form an intermediate dispersion. Target particle size ranges were varied by controlling magnet rotation rate, milling time, and/or bead size. | | | | | | | |
| RE.CNT | 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD | | | | | | | |
| | ALL CITATIONS AVAILABLE IN THE RE FORMAT | | | | | | | |

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|------------|--|--------|---------------------------|--------------------------------|--|---|--|--|
| L2 | ANSWER 39 OF 49 | CAPLUS | COPYRIGHT 2009 ACS on STN | L2 | ANSWER 40 OF 49 | CAPLUS | COPYRIGHT 2009 ACS on STN | |
| AN | 1999-6128597 | CAPLUS | | AN | 1999-557868 | CAPLUS | | |
| DN | 131:228025 | | | DN | 131:303332 | | | |
| TI | Processing of medicinal mushrooms, and crude drugs and health food containing the processed products | | | TI | Micronization of pharmaceutical substances in a spiral jet mill | | | |
| IN | Miyake, Fuminori | | | AU | Midoux, N.; Hosek, P.; Pailleres, L.; Authelin, J. R. | | | |
| PA | Ginas K. K., Japan; Hakusui Chem Industry, Ltd. | | | CS | I.N.P.L. Ecole Nationale Superieure des Industries Chimiques, Nancy, Fr. | | | |
| SO | Jpn. Kokai Tokkyo Koho, 10 pp. | | | SO | Powder Technology (1999), 104 (2), 113-120 | | | |
| | CODEN: JKXXAF | | | CODEN: POTEBC; ISSN: 0052-5910 | | | | |
| DT | Patent | | | PB | Elsevier Science S.A. | | | |
| LA | Japanese | | | DT | Journal | | | |
| FAN.CNT | 1 | | | LA | English | | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | AB | Many studies were conducted to help understand the effects of the variables involved in jet milling. The first part of this work is an attempt to summarize the results published in the literature concerning horizontal and vertical jet mills. This focuses on the research of the optimal design of the mills and on the improvement of their performance. Several publications have been found, concerning mineral grinding. It seemed more interesting to present results on organic crystals. Jet milling in the second part of this paper. The expts. concern 3 organic substances, and were run on 3 different spiral jet mills: Chrispro-Jetmill 50 and 100 and Micromills 8. The results are presented in terms of specific energy consumption with an adaptation of the correlation proposed by earlier workers. These representations show that, within the operating energy range and above a critical energy value, the creation of sp. surface area corresponds to an increase of fine particles production | | |
| PI | JP 11262275 | A | 19990928 | JP 1998-69027 | 19980518 | RE.CNT | 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD | |
| PRAI | JP 1998-69027 | | 19980518 | | | | ALL CITATIONS AVAILABLE IN THE RE FORMAT | |
| AB | Suspensions of mushrooms as materials for crude drugs and health food, other than <i>Agaricus</i> , are milled into micronparticles by a wet jet mill. Active components in the mushrooms may be extracted after milling. The micronparticles or exts. may be further treated with cyclodextrins by a wet jet mill for inclusion of the active components with the cyclodextrin. The method makes it possible to effective extraction of active components from mushrooms. Also claimed are crude drugs and health food containing the active components obtained as described above. <i>Lentinus edodes</i> powder was suspended in H2O and the suspension was processed by a wet jet mill at 30 MPa (flow rate at the confluent point 140 m/s) 3 passes and at 150 MPa (flow rate of the confluent point 290 m/s) 3 passes. The processed suspension showed particle size 7.62 μ m with 100% cell breakage. Inclusion of active components in the suspension with cyclodextrin using a wet jet mill and spray-drying of the inclusion compds. were also shown. | | | | | | | |

L2 ANSWER 41 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:388192 CAPLUS

DN 129:94724

OREF 129:19539a, 19542a

TI Method for dissolving lipophilic material.

IN Toda, Atsushi; Mitake, Kazutoshi; Kanari, Tsutomu; Miyake, Fuminori; Hiki, Rumiko; Mikail, Katsuhiko; Hara, Keizo

PA Bunkiwa Steel Refining Co., Ltd., Japan; Genius K. K.; Hakusui Chem Industr. Ltd.

SO Jon Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

PAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 10156161 | A | 19980616 | JP 1996-333082 | 19961129 |

PRAI JP 1996-333082

19961129

AB Solubility of lipophilic materials such as vitamin E is improved by treatment with wet-type jet mill in the presence of cyclodextrin. The method provides better inclusion, emulsification, and efficiency. The dissolved lipophilic materials are useful for manufacturing food, cosmetic, pharmaceutical, etc.

L2 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:621199 CAPLUS

DN 125:257177

OREF 125:47855a, 47858a

TI Tablets or granules containing Chlorella powder

IN Maruyama, Isao; Nakao, Takashi; Tanaka, Yoshimasa; Ando, Yotaro

PA Chlorella Ind. Japan

SO Jon Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

PAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

PI JP 09188721 A 19960723 JP 1995-581 19950106

PRAI JP 1995-581 19950106

AB Chlorella powder for use in manufacturing tablets or granules are prepared by spray-drying of cultured Chlorella and treating the resultant product with jet mill for pulverization. Tablets or granules containing low level (20%) or high level (60%) of the Chlorella powder as colorant all showed green color.

L2 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:476916 CAPLUS

DN 125:123763

OREF 125:23039a, 23036a

TI Powder formulations containing melezitose as a diluent

IN Baeckstroem, Kjell; Johansson, Ann; Linden, Helena

PA Astra Aktiebolag, Swed.

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

PAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

PI WO 9619007 A1 19960627 WO 1995-SE1541 19951219

W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

ZA 9510753 A 19960624 ZA 1995-10753 19951219

CA 2206603 A1 19960627 CA 1995-2206803 19951219

AU 9643592 A 19960710 AU 1996-43592 19951219

AU 702898 B2 19900511 19951219

EP 799030 A1 19971008 EP 1995-942342 19951219

EP 799030 B1 20020724 EP 2001-130870 19951219

R: AT, BE, CH, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV

CN 1171319 A 19960121 CN 1995-196965 19951219

CN 10801114 C 20020606 19951219

BR 9510422 A 19980707 BR 1995-10422 19951219

HU 77648 A2 19960728 HU 1998-493 19951219

HU 217975 B 20000528 19951219

JP 10510828 T 19961020 JP 1996-519731 19951219

RU 2144819 C1 20000127 RU 1997-112496 19951219

EE 3381 B1 20010416 EE 1997-135 19951219

CZ 288487 B6 20010613 CZ 1997-1946 19951219

TW 474823 B 20020201 TW 1995-84113557 19951219

EP 1224929 A2 20020724 EP 2001-130870 19951219

EP 1224929 A3 20021218 19951219

EP 1224929 B1 20040721 19951219

R: AT, BE, CH, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV

AT 220900 T 20020815 AT 1995-942342 19951219

PL 183944 B1 20020830 PL 1995-320751 19951219

IL 116459 A 20021110 IL 1995-116459 19951219

PT 799030 T 20020817 PT 1995-942342 19951219

ES 2222306 T3 20021216 ES 1995-942342 19951219

SK 283147 B6 20030304 SK 1997-812 19951219

AT 271382 T 20040915 AT 2001-130870 19951219

PT 1224929 T 20041029 PT 2001-130870 19951219

ES 2222306 T3 20050201 ES 2001-130870 19951219

IN 1995DE02393 A 20050311 IN 1995-DE2393 19951222

US 6004574 A 19991231 US 1996-617753 19960518

NO 9702660 A 19970610 NO 1997-2660 19970610

NO 315966 B1 20031124 19951219

FI 9702654 A 19970619 FI 1997-2654 19970619

HK 1003619 A1 20021115 HK 1998-102788 19980402

PRAI SB 1994-4468 A 19941222 19951219

EP 1995-942342 A3 19961219

WO 1995-SE1541 W 19961219

AB A powder formulation for the administration of medically useful polypeptides, comprises the polypeptides with melezitose as diluent. For

L2 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

example, 12 parts insulin was dissolved in distd. water and 4 parts Na taurocholate (absorption enhancer) was added. Melezitose 84 parts was added to the above mixt. and pH was adjusted to 7.4. The soln. was concd. by evapn. of the water and the obtained solid cake was crushed, sieved, and micronized in a jet mill. The micronized powder was agglomerated and filled into a dry powder inhaler.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:288131 CAPLUS

DN 124:293405

OREF 124:54327a, 54330a

TI Method of milling.

IN Haddow, Andrew John

PA S

SO S. Africain, 17 pp.

CODEN: SFXXAB

DT Patent

LA English

FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI ZA 9509369 A 19940608 ZA 1993-9369 19931214

JP 06226133 A 19940816 JP 1993-324837 19931222

PRAI GB 1992-26994 A 19921224

AB The milling of a particulate material comprises passing a gas (steam or air) through a jet nozzle of a jet mill while feeding the particulate material (an inorg. pigment, an organic-colored pigment or a pharmaceutical composition) from a holding vessel containing the material through an inlet to be entrained by the gas and passing the mixture of gas and entrained particles so formed into the jet mill. The amount of particulate material in the holding vessel is insufficient to fill the vessel thus creating an ullage and a gas is maintained in the ullage at a pressure of 20.05 MPa above atmospheric pressure but less than the pressure at which gas is introduced to the jet nozzle.

L2 ANSWER 45 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:27016 CAPLUS

DN 124:97477

OREF 124:18021a, 18024a

TI Improvement of dissolution characteristics of a new chalcone derivative, SU-740: comparison between size reduction, solid dispersion and inclusion complexation.

AU Itaya, Toshiyuki; Demachi, Miki; Toriumi, Yumiko; Adachi, Takeshi; Itai, Shigeru; Hirayama, Fumio; Uekama, Kuniyo

CS Research Center, Taisho Pharmaceutical Co., Ltd., Saitama, 330, Japan

SO Chemical & Pharmaceutical Bulletin (1995), 43(12), 2221-5

CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

AB Three pharmaceutical techniques, i.e., size-reduction, solid dispersion and inclusion complexation, were employed for improvement of the dissoln. rate of 4-tert-butyl-2'-carboxymethoxy-4'-(3-methyl-2-butenyloxy)chalcone (SU-740). For the size reduction, pulverization was performed using a jet mill. The solid dispersions of SU-740 were prepared with polyethylene glycol 6000 and polyvinylpyrrolidone K29/32. The inclusion complexes of SU-740 with 3 natural cyclodextrins (α , β , γ -CyDs) were prepared by the freeze-drying method, or they were isolated according to the Br type phase-solubility diagram. The dissolution rates of SU-740 from the PVP coppt. and the β -CyD complex were much larger than that of the size-reduced form. On accelerated storage (40° and 75% relative humidity) for 1 mo, the PVP coppt. showed a decrease in the dissoln. rate and a change in appearance, whereas the β -CyD complex showed no changes. The inclusion complexation is preferable among the 3 techniques employed for improving of the dissoln. characteristics of SU-740.

L2 ANSWER 46 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:358919 CAPLUS

DN 122:115014

OREF 122:21399a, 21402a

TI Liposome powders for pharmaceutical compositions

IN Schreier, Hans

PA Advanced Therapies, Inc., USA

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 9428876 A1 19941222 WO 1994-US6137 19940531

W: CA, JP, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI US 1993-73234 A 19930607

AB A procedure for producing dry liposome powders (to improve their stability) which can be formulated into a variety of pharmaceutical compons. involves micronizing lyophilized liposome cakes with a jet mill or other devices to generate dry powders with a diameter of 1-100 μ m. Nine grams soya phosphatidylcholine (115 mM) were dispersed in 100 mL aqueous solution containing 8.6 g lactose (345 mM). Liposomes were extruded through a polycarbonate membrane and lyophilized. The lyophilized cake was scraped into a jet mill and the mill operated under N so as to minimize potential oxidation and absorption of water. Liposomes were milled for 3 min at a inlet pressure of 40 psig. A majority of the mass introduced into the jet mill was collected in the cyclone of the mill representing a particle size of 6-10 μ m diameter. These powders could be introduced into capsules or used as powder inhalants.

RE. CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1990:558707 CAPLUS

DN 113:158707

OREF 113:26869a, 26872a

TI Pharmaceutical compositions containing micronized piroxicam

IN Pekete, Pal; Bezsegh, Denes; Simonyi, Istvan; Maroshelyi, Biborka;

PA Zukovics, Katalin; Tombor, Janos

SO EGIS Gyogyszergyar, Hung.

CODEN: BAXXDU

DT Patent

LA English

FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI GB 2224307 A 19900502 GB 1989-24286 19891027

GB 2224307 B 19920610

HU 51143 A2 19900438 HU 1988-5621 19881028

HU 200926 B 19900928

JP 02172918 A 19900704 JP 1989-270514 19891019

CA 2001673 A1 19900428 CA 1989-2001673 19891027

CA 2001673 C 19960827

FR 2638357 A1 19900504 FR 1989-14117 19891027

FR 2638357 B1 19931022

IL 92138 A 19940826 IL 1989-92138 19891027

DE 3936112 A1 19900531 DE 1989-3396112 19891030

DE 3936112 C2 19900218

PRAI HU 1988-5621 A 19881028

AB The present invention relates to an oral pharmaceutical composition comprising piroxicam as active ingredient and lactose as a carrier in micronized form, i.e. $\geq 90\%$ of the composition has a particle size ≤ 30 μ m. The composition may be made up into tablets and capsules. The preparation comprising the micronized piroxicam crystal allows the desired dissolution and the scattering of the active ingredient content. Thus, piroxicam 500, mannitol 300, and aerosil 200 g were mixed and micronized in Pyrena JM-80 air-jet mill by adjusting the air value to 6.0 bar; lactose 1800 and Na lauryl sulfate 3.6 g were homogenized and triturated; the above micronized powder, triturated powder, lactose 3582, corn starch 1160.4, and Mg stearate 0.3 g were totally mixed, homogenized, and formed into capsules and tablets.

L2 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 1989:28984 CAPLUS
 DN 110:28984
 OREF 110:4791a,4794a
 TI Morphic features variation of solid particles after size reduction: sonification compared to jet mill grinding
 AU Thibert, R.; Akbarieh, M.; Tawashi, R.
 CS Pharm., Univ. Montreal, Montreal, QC, Can.
 SO International Journal of Pharmaceutics (1988), 47(1-3), 171-7
 CODEN: IJPHDE; ISSN: 0378-5173
 DT Journal
 LA English
 AB Fourier descriptors of the contour were used to evaluate the effect of sonification and jet mill grinding on particle shape. While jet mill grinding produced particles with smoother boundary, less elongation and higher degree of roundness, sonification yielded fragments closer in shape to the original crystal. Data obtained suggest that the morphic features of daughter fragments are determined mainly by the mechanism of size reduction and material structure.

L2 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 1976:46555 CAPLUS
 DN 84:46555
 OREF 84:7647a
 TI Milling in air-pressure centrifugal mills
 AU [Lewandowski, Maciej]
 CS Inst. Msz. Huta. Autom., Akad. Gorn.-Hutn., Krakow, Pol.
 SO [Mnieniela i Aparatura Chemiczna (1975), 14(1), 24-7
 CODEN: IZACAX; ISSN: 0368-0827
 DT Journal
 LA Polish
 AB Air jet mills were examined for milling various inorg. substances. The 3 types investigated had milling chamber diams. 100, 300, and 400 mm and capacities 10, 80, and 150 kg/hr., resp. They were superior to other mills with regard to homogeneity, very small particle product size, and contamination. The advantage of jet mills is apparent especially when using hot gas or steam. The latter also enables operation under sterile conditions, which makes it suitable for pharmaceuticals.

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=> => d que 110 stat
L3      5 SEA FILE=CAPLUS ABB=ON  PLU=ON  "IZAWA NAOTO"/AU
L4      1 SEA FILE=CAPLUS ABB=ON  PLU=ON  "SATOH NORIE"/AU
L5      35 SEA FILE=CAPLUS ABB=ON  PLU=ON  "YAGI NOBUHIRO"/AU
L6      3 SEA FILE=CAPLUS ABB=ON  PLU=ON  "OUCHI KAZUE"/AU
L7      6 SEA FILE=CAPLUS ABB=ON  PLU=ON  "NARITA SHOICHI"/AU
L8      27 SEA FILE=CAPLUS ABB=ON  PLU=ON  "AOKI NOBORU"/AU
L9      70 SEA FILE=CAPLUS ABB=ON  PLU=ON  L3 OR L4 OR L5 OR L6 OR L7 OR
L8
L10     2 SEA FILE=CAPLUS ABB=ON  PLU=ON  L9 AND (MICROCRYSTAL?)
```

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=> d 1-2 bib abs
```


=> s 19 and (pulveriz? or mill? or powder?)

86272 PULVERIZ?

320743 MILL?

757581 POWDER?

202341 POWD

255 POWDS

202468 POWD

(POWD OR POWDS)

878907 POWDER?

(POWDER? OR POWD)

L11 8 L9 AND (PULVERIZ? OR MILL? OR POWDER?)

=> d 1-8 bib abs

L11 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:540584 CAPLUS

DN 143:83428

TI Preparation of microcrystals of dihydrothienobenzothiophenylpropanamide

derivative

IN Izawa, Naoto; Satoh, Norie; Yagi, Nobuhiko;

Ouchi, Kazu; Narita, Shoichi; Aoki, Noboru

PA Kureha Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2005065661 A1 20050623 WO 2004-JP18773 20041209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GR, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MK, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UD, US, UZ, VG, VN, YU, ZA, ZM, ZW
RW: BW, GH, GR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT,
RO, SI, TR, BG, BJ, CR, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TGAU 2004297132 A1 20050623 AU 2004-297132 20041209
CA 2550136 A1 20050623 CA 2004-2550136 20041209
EP 1693374 A1 20060823 EP 2004-807132 20041209
EP 1693374 A1 20081015 EP 2004-807132 20041209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, FI, RO, CY, TR, BG, CZ, BE, HU, PL, SK, IS
CN 1845927 A 20061011 CN 2004-80025657 20041209
AT 411320 T 20081015 AT 2004-807132 20041209
ES 2314484 T3 20090316 ES 2004-807132 20041209
KR 2006121163 A 20061128 KR 2006-711372 20060609
US 20070049634 A1 20070701 US 2006-582238 20060609
US 2006003134 A 20060905 NO 2006-3134 20060706PRAI JP 2003-413725 A 20031211
WO 2004-JP18773 W 20041209
AB Claims are microcrystals of (*S*)-3,3,3-trifluoro-2-hydroxy-2-methyl-N-*[(E)-10-tricoso-4,10-dihydro-*benz[5,6-*h*]-[1]benzothiophen-9-yl])propanamide* (I) with average particle diameter of \leq 80 nm. I is a known therapeutic agent for unmyaline-antinflamatory. Crystals of I were pulverized by a jet mill at 0.4 MPa to give microcrystals of I with average particle diameter of 5 μ m. Microcrystals of I showed high oral bioavailability and high stability. Capsules containing microcrystals of I were prepared.*

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:792578 CAPLUS

DN 140:207857

TI High-resolution and high-intensity powder diffractometer at

BL15XU in Spring-8

AU Ikeda, Takuji; Niizawa, Atsushi; Okui, Masato; Yagi, Nobuhiko;

Yoshikawa, Hideki; Fukushima, Sei

CS Advanced Materials Laboratory, National Institute for Material Science,

Tsukuba, Ibaraki, 305-0044, Japan

Journal of Synchrotron Radiation (2003), 10(6), 424-429

SO Journal of Synchrotron Radiation (2003), 10(6), 424-429

CODEN: JSYRES; ISSN: 0909-0495

PB Blackwell Publishing Ltd.

DT Journal

LA English

AB A new ultra-high-resolution powder diffractometer for synchrotron radiation was constructed at beamline BL15XU, Spring-8. The 2-axis diffractometer is optimized for high-flux and high-coherent x-ray beams, which are provided by combining a planar undulator and a large offset rotated-inclined Si(111) double-crystal monochromator. The optics design of the diffractometer is based on transmission geometry, which employs a capillary specimen and reflection geometries using a flat-plate specimen. The intensity data are collected using a 2 θ step-scan technique in both geometries. The diffractometer can be arranged in a variety of optical configurations, e.g. simple receiving slits, flat crystal analyzer of Ge(111) or Si(111), and in-vacuum-type long horizontal parallel slits. A min. full width at half-maximum against 2 θ was 0.0057 θ at $\lambda = 0.63582 \text{ \AA}$ for the (200) reflections from Si powder in the transmission geometry employing the Ge(111) crystal analyzer. A wide temperature range (230-900 K), which is controlled by a He/N₂ gas stream system, is available. 288 structure parameters of a zeolite ZSM-5 sample were demonstrated to successfully refine with a Rwp value of 6.96% by a Rietveld anal. of the high-resolution powder diffraction data from a 1 mm-diameter capillary specimen.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:996180 CAPLUS

DN 141:427991

TI Microcrystals of (*E*)-8-(3,4-dimethoxystyryl)-1,3-diethyl-7-methyl-3,7-dihydro-1H-purine-2,6-dione

IN Kuroda, Kazutoshi; Aoki, Noboru; Ochiai, Toshiro; Uchida, Kazuhiro; Ishikawa, Yasuhiro; Kigoshi, Makoto; Hayakawa, Eiji; Asanone, Kazu

PA Kureha Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2004099207 A1 20041118 WO 2004-JP6495 20040507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GR, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UD, US, UZ, VG, VN, YU, ZA, ZM, ZW
RW: BW, GH, GR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,
BE, ES, FI, FR, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BG, BJ, CR, GS, CL, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, SI, TBAU 2004236101 A1 20041118 AU 2004-236101 20040507
CA 2525037 A1 20041118 CA 2004-2525037 20040507

EP 1626049 A1 20060215 EP 2004-731752 20040507

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HRCN 1784405 A 20060607 CN 2004-80011873 20040507
CN 100359245 C 20080618 20040507US 20060206745 A1 20060914 US 2005-554511 20051026
IN 2005CN03327 A 20070601 IN 2005-CN3327 20051208

PRAI JP 2003-131417 A 20030509 WO 20040507

AB Claimed are microcrystals of (*E*)-8-(3,4-dimethoxystyryl)-1,3-diethyl-7-methyl-3,7-dihydro-1H-purine-2,6-dione (I) with average particle diameter less than 50 μ m; also claimed are microcrystals of I with average particle diameter of 0.5 to 20 μ m; another claim specifies that microcrystals of I with average particle diameter less than 50 μ m with average particle diameter of 0.5 to 20 μ m; and a third claim specifies that microcrystals of I with average particle diameter less than 50 μ m with average particle diameter of 0.5 to 20 μ m; also claimed is a solid pharmaceutical preparation containing microcrystals of I. I is a known agent for the treatment of Parkinson's disease, asthma, etc. Crystals of I (average particle diameter : 181 μ m; crystallinity : 71.6%) was pulverized by a jet mill at 0.25 MPa to give microcrystals of I (average particle diameter : 11 μ m; crystallinity 67.3%). Microcrystals of I (average particle diameter : 11 μ m; crystallinity 67.3%) were shown to have excellent solubility, stability, bioavailability and dispersibility in drug preps. A formulation for tablets containing microcrystals of I 40 mg, lactose 110 mg, microcrystalline cellulose 44 mg, polyvinylpyrrolidone 4 mg, and magnesium stearate 2 mg.RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:403678 CAPLUS

DN 139-41635

TI Stabilization of photo degradable drug powder by dry coating agglomeration

AU Ito, Ryusei; Maida, Akiyo; Shinohara, Kunio; Izawa, Naoto

CS Div. Mater. Sci. Eng., Grad. Sch., Hokkaido Univ., Sapporo, 060-8628, Japan

SO Funtai Kogaku Kaishi (2003), 40(5), 530-533

CODEN: FRKADA; ISSN: 0386-6157

PB Funtai Kogakkai

DT Journal

LA Japanese

AB Photo degradable drug powder was stabilized by dry coating drug agglomerates with UV protective powder with a high-shear mixer. As an example, pyridoxal phosphate (PLP) was used as the drug powder and titanium dioxide (TiO₂) as a protective one. The drug forms a subcomponent under UV irradiation and the amount of the components was measured by high performance liquid chromatog. As a result, the UV protective performance improved with the mass ratio of TiO₂ to PLP, the number of coating operations and the PLP agglomerate size.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2002:696818 CAPLUS
 DN 137:222065
 TI Utilization of spray-dried powder containing sugar alcohol for compression molded products
 IN Narita, Shoichi; Ouchi, Kazue; Miyabe, Junichi; Murai, Kouji
 PA Kyowa Hakko Kogyo Co., Ltd., Japan
 SO PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2002070013 | A1 | 20020912 | WO 2002-JP2050 | 20020305 |
| W: AE, AG, AL, AM, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CN, CO, CR, CU, CZ, DM, EC, BE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MK, NO, NZ, OM, PH, PL, RO, RU, SG, SL, SK, TJ, TM, TN, TT, UA, US, UZ, VN, YU, ZA | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MG, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2440361 | A1 | 20020912 | CA 2002-2440365 | 20020305 |
| AU 2002238848 | A1 | 20020915 | AU 2002-238848 | 20020305 |
| AU 2002238848 | B2 | 20071115 | | |
| EP 1369131 | A1 | 20021210 | EP 2002-705082 | 20020305 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MG, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1494434 | A | 20040605 | CN 2002-806022 | 20020305 |
| US 20040121006 | A1 | 20040624 | US 2003-469784 | 20031118 |
| PRAI JP 2001-62693 | A | 20010306 | | |
| WO 2002-JP2050 | W | 20020305 | | |

AB Disclosed is utilization of a spray-dried powder containing a sugar alc. in order to prevent the decomposition or denaturation of an active ingredient or changes in the function of functional particles due to compression in the production of compression molded prens. Tablets were prepared from spray-dried D-mannitol 125.5, crospovidone 75, silica 7.5, magnesium stearate 30, and sustained-release theophylline granule 135 g, and examined the theophylline dissoln. rate.

RE. CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2002:695750 CAPLUS
 DN 137:222068
 TI Preparations quickly disintegrating in oral cavity
 IN Narita, Shoichi; Ouchi, Kazue; Miyabe, Junichi; Murai, Kouji
 PA Kyowa Hakko Kogyo Co., Ltd., Japan
 SO PCT Int. Appl., 28 pp.
 CODEN: PIXXD2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2002069934 | A1 | 20020912 | WO 2002-JP2049 | 20020305 |
| W: AE, AG, AL, AM, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, RU, SG, SI, SK, TJ, TM, TN, TT, UA, US, UZ, VN, YU, ZA | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MG, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2440361 | A1 | 20020912 | CA 2002-2440361 | 20020305 |
| AU 2002238847 | A1 | 20020919 | AU 2002-238847 | 20020305 |
| EP 1369104 | A1 | 20031210 | EP 2002-705081 | 20020305 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MG, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1494419 | A | 20040605 | CN 2002-806023 | 20020305 |
| US 20040071772 | A1 | 20040415 | US 2003-469314 | 20031118 |
| PRAI JP 2001-62692 | A | 20010306 | | |
| WO 2002-JP2049 | W | 20020305 | | |

AB Disclosed are preps. quickly disintegrating in the oral cavity which can be produced by the commonly employed compression molding method or, preferably, the direct tabletting method, have a practically available hardness and are excellent in the disintegration properties in the oral cavity. These preps. comprise a spray-dried powder containing a sugar alc. and having primary particles serving as unit particles and an active ingredient. D-Mannitol dissolved in water was spray dried to give powder (primary particle average diameter 50 μ m). The obtained D-mannitol powder 1820 g, Crospovidone 100 g, Mg stearate 40 g, and benidipine hydrochloride 40 g were blended and compressed to give tablets (200 mg each).

RE. CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2001:730553 CAPLUS
 DN 135:262281
 TI Water-soluble additives for the manufacture of easy-to-take granules
 IN Narita, Shoichi; Okasa, Takehiro
 PA Kyowa Hakko Kogyo Co., Ltd., Japan
 SO PCT Int. Appl., 20 pp.
 CODEN: PIXXD2

DT Patent
 LA Japanese
 FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2001072285 | A1 | 20011004 | WO 2001-JP2406 | 20010326 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, GH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, ES, ES, FR, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PT, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MG, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001042783 | A | 20011008 | AU 2001-42783 | 20010326 |
| CA 2403594 | A1 | 20020918 | CA 2001-2403594 | 20010326 |
| EP 1269955 | A1 | 20030102 | EP 2001-915776 | 20010326 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MG, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 20030104066 | A1 | 20030605 | US 2002-239751 | 20021029 |
| PRAI JP 2000-86516 | A | 20000527 | | |
| WO 2001-JP2406 | W | 20010326 | | |

AB Disclosed are easy-to-take granules which comprise an active ingredient, at least one water-soluble additive having an average particle diameter smaller than 50 μ m, and at least one disintegrator. The granules are easily dissolved or disintegrated in the buccal cavity. D-Mannitol 90 g was pulverized and mixed with crospovidone 5.5, hydroxypropyl cellulose 2, and oxatamide 2 g. Water was added to the mixture for kneading and granulation.

RE. CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 1990:465286 CAPLUS
 DN 113:65286
 OREF 113:10915a, 10918a
 TI Gastric antiulcer pharmaceuticals containing tocopherol retinoate
 IN Kurihara, Masaaki; Ota, Keiichiro; Aoki, Noboru; Kawase, Shigeo
 PA Lederle (Japan), Ltd., Japan; Nissin Flour Milling Co., Ltd.
 SO Jpn Kokai Tokkyo Koho, 8 pp.
 CODEN: JKXXAF

DT Patent
 LA Japanese
 FAN. CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| PI JP 02048525 | A | 19900219 | JP 1988-198794 | 19880811 |
| JP 2776537 | B2 | 19980716 | | |
| PRAI JP 1988-198794 | | 19880811 | | |
| AB Pharmaceuticals, useful for treatment of peptic ulcer, contain tocopherol retinoate (I) as an active ingredient and 2-50 weight% (based on total weight) high-viscosity hydroxypropyl cellulose (II). II prolongs sticking or adhesion of I to gastric mucosa, especially to ulcer parts, thus showing good bioavailability. I 100, silica 100, and Klucel MF [II, 5250 cP (2% aqueous solution, at 25 $^{\circ}$ C)] 100 mg were mixed to give an oral preparation, which at 25 mg/kg (as I) was administered to rats with AcOH-induced ulcer to show adsorbed I 1.4 μ g in ulcer parts and 0.1 μ g in normal parts 6 h after, vs. 0.9 and 0.1 μ g, for a control preparation containing poly(vinylpyrrolidone) instead of II. Powders were formulated containing I 10, silica 10, and Klucel MF 10 g. | | | | |

10/582, 328 03/23/2009

Page 21

=> d his full

(FILE 'HOME' ENTERED AT 12:39:26 ON 23 MAR 2009)

FILE 'CAPLUS' ENTERED AT 12:39:37 ON 23 MAR 2009

L1 14734 SEA ABB=ON PLU=ON (PHARMACEUTICAL OR PHARMACEUTICALS) (L) (PULVERIZE OR PULVERIZATION OR MILLING OR (JET MILL) OR POWDER)

L2 49 SEA ABB=ON PLU=ON L1 AND (JET MILL)
D QUE L2 STAT
D 1-49 BIB ABS
E IZAWA NAOTO/AU

L3 5 SEA ABB=ON PLU=ON "IZAWA NAOTO"/AU
E SATOH NORIE/AU

L4 1 SEA ABB=ON PLU=ON "SATOH NORIE"/AU
E YAGI NOBUHIRO/AU

L5 35 SEA ABB=ON PLU=ON "YAGI NOBUHIRO"/AU
E OUCHI KAZUE/AU

L6 3 SEA ABB=ON PLU=ON "OUCHI KAZUE"/AU
E NARITA SHOICHI/AU

L7 6 SEA ABB=ON PLU=ON "NARITA SHOICHI"/AU
E AOKI NOBORU/AU

L8 27 SEA ABB=ON PLU=ON "AOKI NOBORU"/AU

L9 70 SEA ABB=ON PLU=ON L3 OR L4 OR L5 OR L6 OR L7 OR L8

L10 2 SEA ABB=ON PLU=ON L9 AND (MICROCRYSTAL?)
D QUE L10 STAT
D 1-2 BIB ABS

L11 8 SEA ABB=ON PLU=ON L9 AND (PULVERIZ? OR MILL? OR POWDER?)
D 1-8 BIB ABS

10/582, 328 03/23/2009

Page 22

| | | |
|--|------------------|---------------|
| FULL ESTIMATED COST | 241.80 | 242.02 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -48.38 | -48.38 |

STN INTERNATIONAL LOGOFF AT 13:03:42 ON 23 MAR 2009